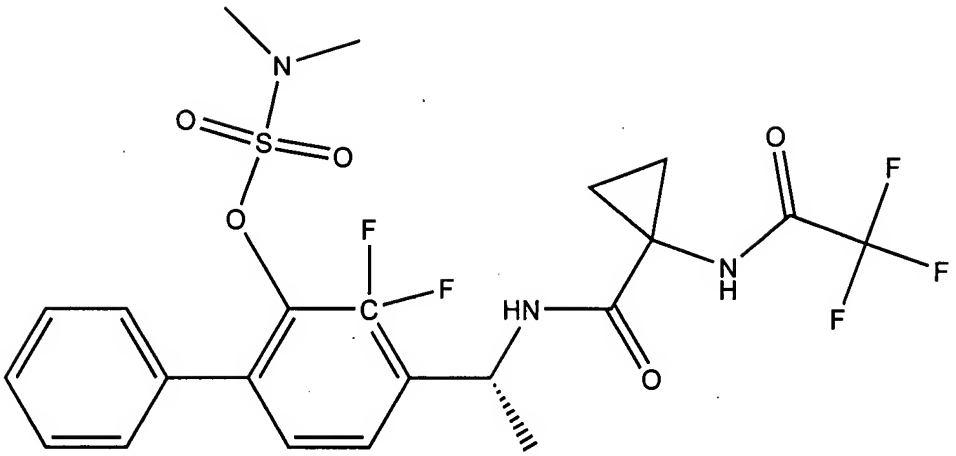
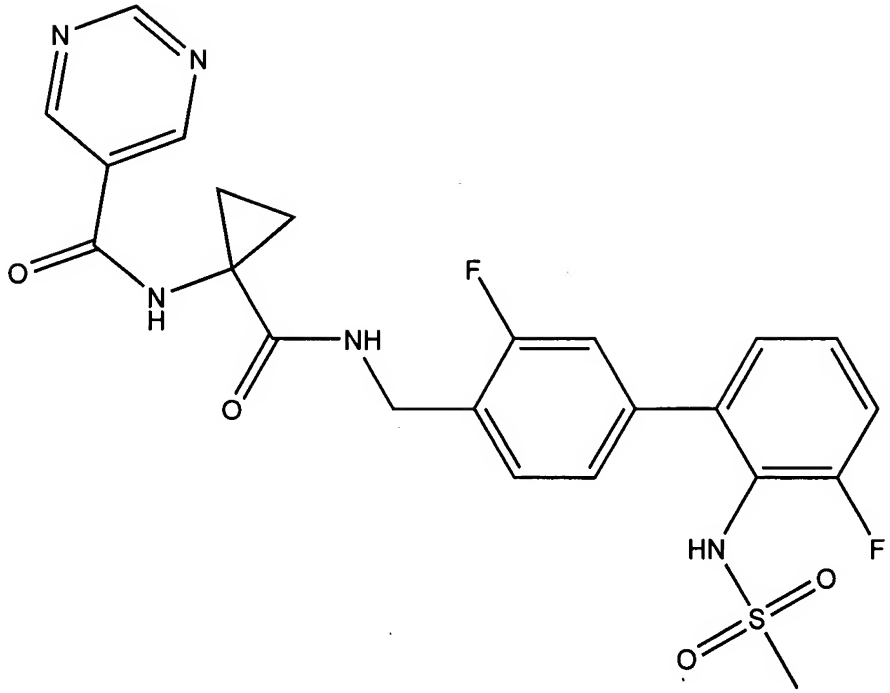
EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	4084	((544/335) or (514/269) or (564/123) or (514/676) or (544/55)).CCLS.	US-PGPUB; USPAT	OR	OFF	2007/09/25 03:41
L2	0	1 and aminocyclopropanecarboxamides	USPAT	OR	OFF	2007/09/25 03:41
L3	0	1 and aminocyclopropanecarboxamides	US-PGPUB; USPAT	OR	OFF	2007/09/25 03:41
L4	1	1 and biarylmethyl	US-PGPUB; USPAT	OR	OFF	2007/09/25 03:41
L5	136	1 and carboxamides	US-PGPUB; USPAT	OR	OFF	2007/09/25 03:41
L6	41	1 and carboxamides and sulfonyl	US-PGPUB; USPAT	OR	OFF	2007/09/25 03:42



3,3-difluoro-4-{(1R)-1-[({1-[(trifluoroacetyl)amino]cyclopropyl}carbonyl)amino]ethyl}-1,1'biphenyl-2-yl dimethylsulfamate

Caution: Valence appears to be exceeded



N-(1-{[({3,3'-difluoro-2'-[(methylsulfonyl)amino]-1,1'-biphenyl-4-yl}methyl)amino]carbonyl}-cyclopropyl)pyrimidine-5-carboxamide

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907-1966
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

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This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

```
=> d his

     (FILE 'HOME' ENTERED AT 02:46:20 ON 25 SEP 2007)

     FILE 'REGISTRY' ENTERED AT 02:46:26 ON 25 SEP 2007
L1              STRUCTURE UPLOADED
L2            3 S L1
L3           60 S L1 FULL

     FILE 'HCAPLUS' ENTERED AT 02:50:23 ON 25 SEP 2007
L4            5 S L3
L5            2 S L4 AND ANTHONY, N?/AU
L6            3 S L4 NOT L5
L7            0 S L6 AND GOMEZ, R?/AU
L8            0 S L6 AND JOLLY, S?/AU
L9            0 S L6 AND LIM, J?/AU
L10           0 S L6 AND SU, D?/AU

     FILE 'CAOLD' ENTERED AT 02:51:28 ON 25 SEP 2007

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L11           0 L3
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Updated Search

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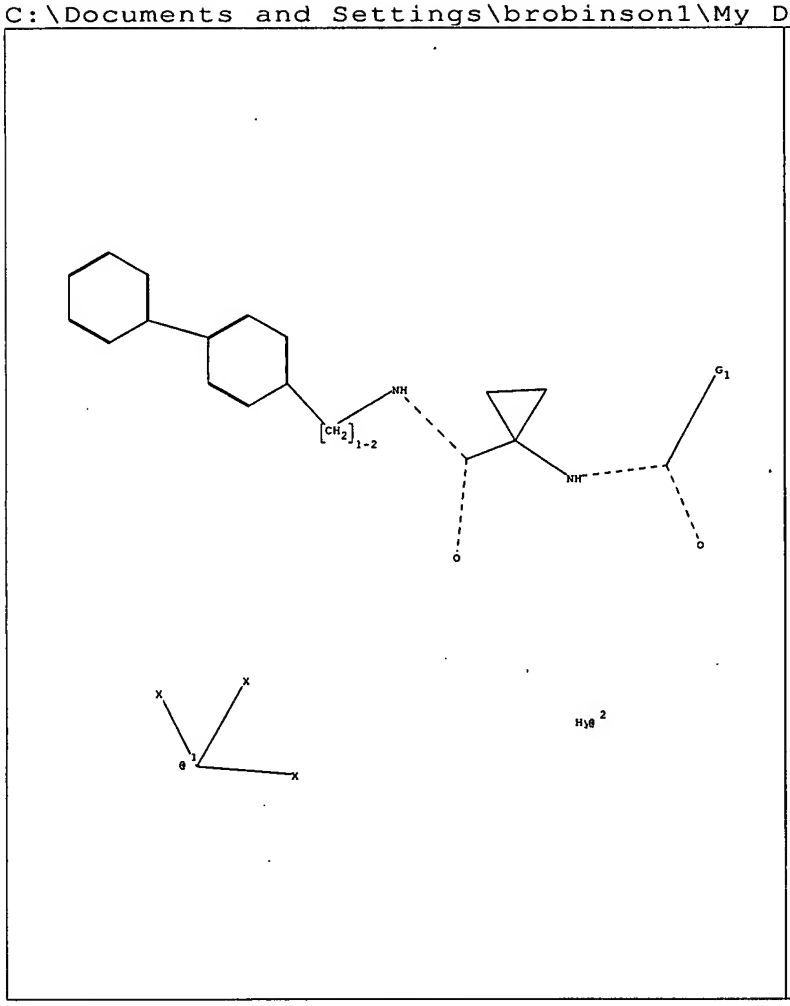

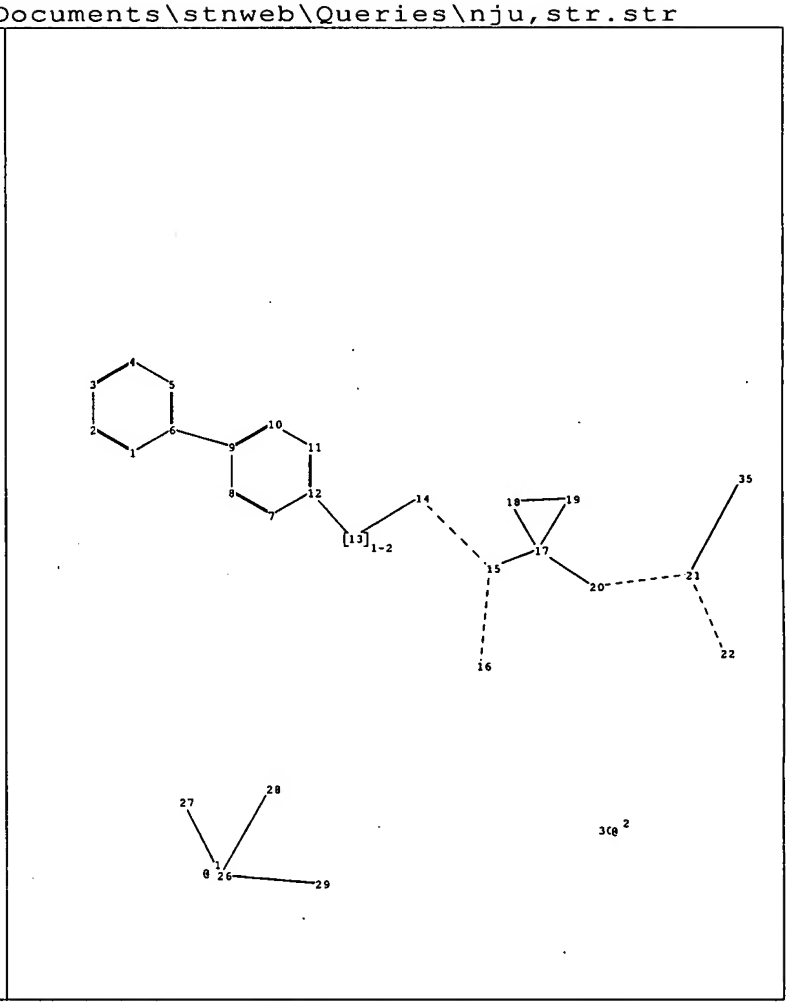

chain nodes :
13 14 15 16 20 21 22 26 27 28 29 30 35
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 17 18 19
chain bonds :
6-9 12-13 13-14 14-15 15-16 15-17 17-20 20-21 21-22 21-35 26-27 26-28 26-29
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 17-18 17-19 18-19
exact/norm bonds :
14-15 15-16 17-20 20-21 21-22 21-35
exact bonds :
6-9 12-13 13-14 15-17 17-18 17-19 18-19 26-27 26-28 26-29
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12
isolated ring systems :
containing 1 : 7 : 17 :

G1:[*1],[*2]

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom
10:Atom 11:Atom 12:Atom 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:Atom
18:Atom 19:Atom 20:CLASS 21:CLASS 22:CLASS 26:CLASS 27:CLASS
28:CLASS 29:CLASS 30:Atom 35:CLASS
Generic attributes :
30:
Saturation : Unsaturated
Number of Carbon Atoms : less than 7
Type of Ring System : Monocyclic

Element Count :

Node 30: Limited
N,N2
C,C4

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssspta1612bxr

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page for STN Seminar Schedule - N. America
NEWS 2	JUL 02	LMEDLINE coverage updated
NEWS 3	JUL 02	SCISEARCH enhanced with complete author names
NEWS 4	JUL 02	CHEMCATS accession numbers revised
NEWS 5	JUL 02	CA/CAplus enhanced with utility model patents from China
NEWS 6	JUL 16	CAplus enhanced with French and German abstracts
NEWS 7	JUL 18	CA/CAplus patent coverage enhanced
NEWS 8	JUL 26	USPATFULL/USPAT2 enhanced with IPC reclassification
NEWS 9	JUL 30	USGENE now available on STN
NEWS 10	AUG 06	CAS REGISTRY enhanced with new experimental property tags
NEWS 11	AUG 06	BEILSTEIN updated with new compounds
NEWS 12	AUG 06	FSTA enhanced with new thesaurus edition
NEWS 13	AUG 13	CA/CAplus enhanced with additional kind codes for granted patents
NEWS 14	AUG 20	CA/CAplus enhanced with CAS indexing in pre-1907 records
NEWS 15	AUG 27	Full-text patent databases enhanced with predefined patent family display formats from INPADOCDB
NEWS 16	AUG 27	USPATOLD now available on STN
NEWS 17	AUG 28	CAS REGISTRY enhanced with additional experimental spectral property data
NEWS 18	SEP 07	STN AnaVist, Version 2.0, now available with Derwent World Patents Index
NEWS 19	SEP 13	FORIS renamed to SOFIS
NEWS 20	SEP 13	INPADOCDB enhanced with monthly SDI frequency
NEWS 21	SEP 17	CA/CAplus enhanced with printed CA page images from 1967-1998
NEWS 22	SEP 17	CAplus coverage extended to include traditional medicine patents
NEWS 23	SEP 24	EMBASE, EMBAL, and LEMBASE reloaded with enhancements

NEWS EXPRESS 19 SEPTEMBER 2007: CURRENT WINDOWS VERSION IS V8.2, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007.

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS LOGIN	Welcome Banner and News Items
NEWS IPC8	For general information regarding STN implementation of IPC 8

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Updated Search

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* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 02:46:20 ON 25 SEP 2007

=> file reg
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 0.21 0.21

FILE 'REGISTRY' ENTERED AT 02:46:26 ON 25 SEP 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 23 SEP 2007 HIGHEST RN 947726-74-1
DICTIONARY FILE UPDATES: 23 SEP 2007 HIGHEST RN 947726-74-1

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TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Documents and Settings\brobinson1\My
Documents\stnweb\Queries\nju,str.str

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 02:50:14 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 25 TO ITERATE

100.0% PROCESSED 25 ITERATIONS 3 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 200 TO 800

Updated Search

PROJECTED ANSWERS: 3 TO 163

L2 3 SEA SSS SAM L1

=> s l1 full
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DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
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FULL SCREEN SEARCH COMPLETED - 544 TO ITERATE

100.0% PROCESSED 544 ITERATIONS 60 ANSWERS
SEARCH TIME: 00.00.01

L3 60 SEA SSS FUL L1

=> file hcaplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 174.80 175.01

FILE 'HCAPLUS' ENTERED AT 02:50:23 ON 25 SEP 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 25 Sep 2007 VOL 147 ISS 14
FILE LAST UPDATED: 24 Sep 2007 (20070924/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3
L4 5 L3

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140 ANTHONY, N?/AU
L5 2 L4 AND ANTHONY, N?/AU

=> d l5, ibib abs hitstr, 1-2

L5 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:158641 HCAPLUS
DOCUMENT NUMBER: 142:261546
TITLE: Preparation of sulfonyl substituted N-(biarylmethyl)aminocyclopropanecarboxamides as bradykinin B1 antagonists or inverse agonists
INVENTOR(S): Anthony, Neville J.; Gomez, Robert; Jolly, Samson M.; Lim, John Jin; Su, Dai-shi

Updated Search

PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2005016886	A1	20050224	WO 2004-US25037		20040803
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
AU 2004265300	A1	20050224	AU 2004-265300		20040803
CA 2534188	A1	20050224	CA 2004-2534188		20040803
EP 1654232	A1	20060510	EP 2004-779955		20040803
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CN 1832922	A	20060913	CN 2004-80022661		20040803
JP 2007501790	T	20070201	JP 2006-522671		20040803
US 2006247229	A1	20061102	US 2006-565040		20060118
IN 2006DN00523	A	20070810	IN 2006-DN523		20060131
PRIORITY APPLN. INFO.:			US 2003-493146P	P	20030807
			US 2003-493257P	P	20030807
			WO 2004-US25037	W	20040803

OTHER SOURCE(S): CASREACT 142:261546; MARPAT 142:261546
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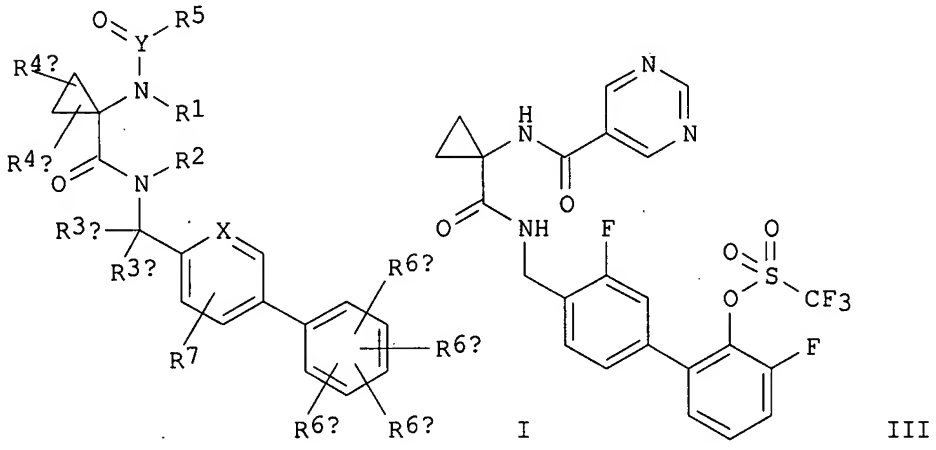

I III

AB N-(Sulfonyloxybiarylmethyl)aminocyclopropanecarboxamide derivs. (I) [R1, R2 = H, C1-4 alkyl; R3a, R3b = H, (un)substituted C1-4 alkyl; R4a, R4b =

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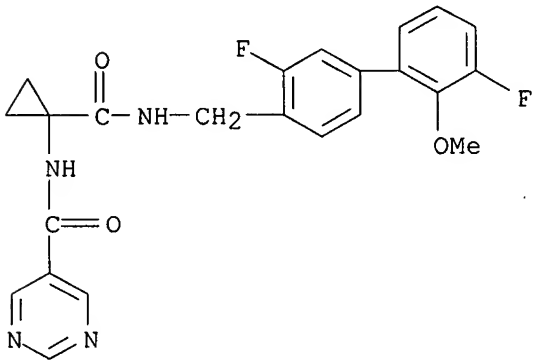
H, halogen, (un)substituted C1-4 alkyl; or R4a and R4b together with the carbon atom to which they are both attached form an (un)substituted exocyclic methylene; R5 = each (un)substituted C1-6 alkyl, C3-8 cycloalkyl, C3-6 alkynyl, C2-6 alkenyl, (CH2)k-aryl, (CH2)k-heterocycle; R6a = -OSO2R8, -NR8aSO2R9, -C(R8b)(R8c)SO2R9; R6b, R6c, R6d = H, halogen, OSO2R8, (un)substituted C1-4 alkyl, cyano, nitro, ORa, CO2Ra, or when attached to adjacent carbon atoms R6C and R6d together with the carbon atoms to which they are attached form a 5- to 8-membered saturated or unsatd. ring; R7 = H, halogen, cyano, nitro, ORa, CO2Ra, C(O)NRbRc, (un)substituted C1-4 alkyl; R8 = H, each (un)substituted C1-4 alkyl, (CH2)k-aryl, or NH2; R8a, R8b, R8c = H, (un)substituted C1-4 alkyl; or when R6a and R6b are attached to adjacent atoms, R8a and R6b together complete 5- or 6-membered ring; R9 = each (un)substituted C1-4 alkyl, aryl, or (CH2)k-aryl; Ra, Rb, Rc = H, each C1-4 alkyl or Ph, C3-6 cycloalkyl; or NRbRc together forms a cyclic imide or a 4-, 5-, or 6-membered ring optionally containing an addnl. heteroatom selected from N, O, and S; X = CH, N; Y = C, S(O); k = 0, 1, 2]. These compds. are bradykinin B1 antagonists or inverse agonists useful in the treatment or prevention of symptoms such as pain and inflammation associated with the bradykinin B1 pathway. Thus, N-[1-[[[2-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)benzyl]amino]carbonyl]cyclopropyl]pyrimidine-5-carboxamide was coupled with 1-bromo-3-fluoro-2-methoxybenzene in the presence of tetrakis(triphenylphosphine)palladium(0) and potassium phosphate at 110° for 16 h to give N-[1-[[[(3,3'-difluoro-2'-methoxy-1,1'-biphenyl-4-yl)methyl]amino]carbonyl]cyclopropyl]pyrimidine-5-carboxamide which was treated with boron tribromide in CH2Cl2 at room temperature for 48 h to give N-[1-[[[(3,3'-difluoro-2'-hydroxy-1,1'-biphenyl-4-yl)methyl]amino]carbonyl]cyclopropyl]pyrimidine-5-carboxamide (II). II was stirred with tifluoromethanesulfonic anhydride in the presence of Et3N in CH2Cl2 at room temperature for 2 h to give 3,3'-difluoro-4'-[[[[1-[(pyrimidin-5-ylcarbonyl)amino]cyclopropyl]carbonyl]amino]methyl]-1,1'-biphenyl-2-yl trifluoromethanesulfonate (III).

IT 578767-41-6P, N-[1-[[[(3,3'-Difluoro-2'-methoxy-1,1'-biphenyl-4-yl)methyl]amino]carbonyl]cyclopropyl]pyrimidine-5-carboxamide
845830-01-5P, N-[1-[[[(3,3'-Difluoro-2'-hydroxy-1,1'-biphenyl-4-yl)methyl]amino]carbonyl]cyclopropyl]pyrimidine-5-carboxamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of sulfonyl substituted N-(biarylmethyl)aminocyclopropanecarboxamides as bradykinin B1 antagonists or inverse agonists for treatment or prevention of pain and inflammation)

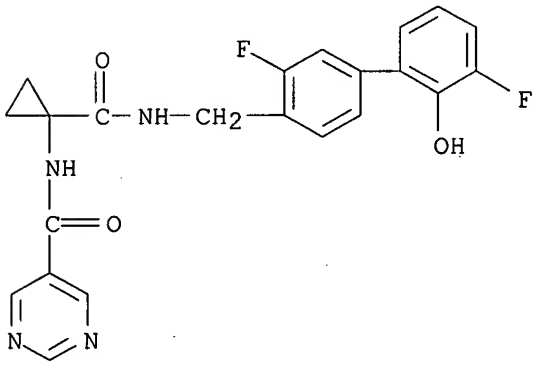
RN 578767-41-6 HCAPLUS

CN 5-Pyrimidinecarboxamide, N-[1-[[[(3,3'-difluoro-2'-methoxy[1,1'-biphenyl]-4-yl)methyl]amino]carbonyl]cyclopropyl]- (9CI) (CA INDEX NAME)



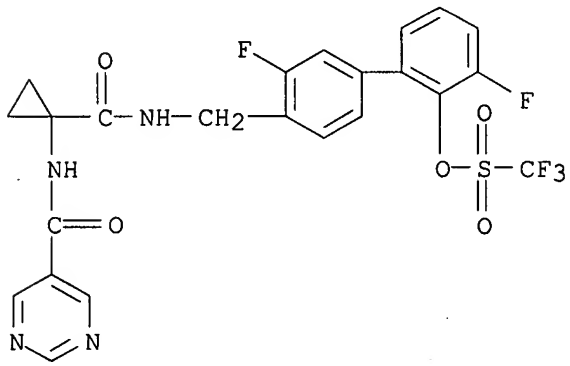
Updated Search

RN 845830-01-5 HCAPLUS
CN 5-Pyrimidinecarboxamide, N-[1-[[[(3,3'-difluoro-2'-hydroxy[1,1'-biphenyl]-4-yl)methyl]amino]carbonyl]cyclopropyl]- (CA INDEX NAME)



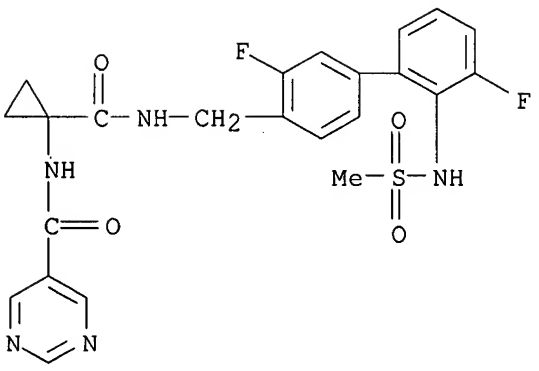
IT 845829-98-3P, 3,3'-Difluoro-4'-[[[[1-[(pyrimidin-5-ylcarbonyl)amino]cyclopropyl]carbonyl]amino]methyl]-1,1'-biphenyl-2-yl trifluoromethanesulfonate 845830-32-2P, N-[1-[[[[3,3'-Difluoro-2'-[(methylsulfonyl)amino]-1,1'-biphenyl-4-yl]methyl]amino]carbonyl]cyclopropyl]pyrimidine-5-carboxamide 845830-34-4P, N-[1-[[[[2'-(1,1-Dioxido-1,2-thiazinan-2-yl)-3,3'-difluoro-1,1'-biphenyl-4-yl]methyl]amino]carbonyl]cyclopropyl]pyrimidine-5-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sulfonyl substituted N-(biarylmethyl)aminocyclopropanecarboxamides as bradykinin B1 antagonists or inverse agonists for treatment or prevention of pain and inflammation)

RN 845829-98-3 HCAPLUS
CN Methanesulfonic acid, trifluoro-, 3,3'-difluoro-4'-[[[[1-[(5-pyrimidinylcarbonyl)amino]cyclopropyl]carbonyl]amino]methyl][1,1'-biphenyl]-2-yl ester (9CI) (CA INDEX NAME)

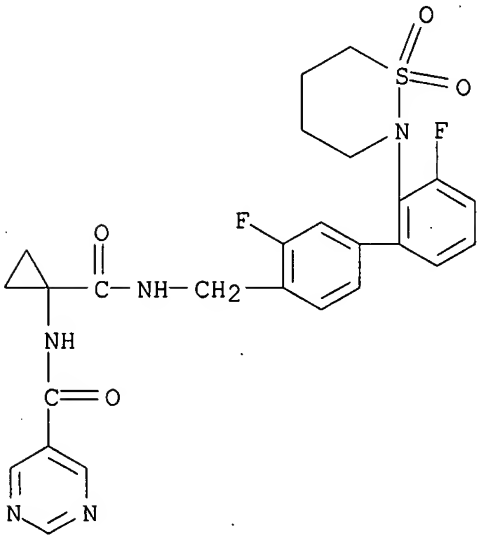


RN 845830-32-2 HCAPLUS
CN 5-Pyrimidinecarboxamide, N-[1-[[[[3,3'-difluoro-2'-[(methylsulfonyl)amino][1,1'-biphenyl]-4-yl]methyl]amino]carbonyl]cyclopropyl]- (CA INDEX NAME)

Updated Search



RN 845830-34-4 HCAPLUS
CN 5-Pyrimidinecarboxamide, N-[1-[[[[3,3'-difluoro-2'-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)[1,1'-biphenyl]-4-yl]methyl]amino]carbonyl]cyclopropyl]- (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:633358 HCAPLUS
DOCUMENT NUMBER: 139:179892
TITLE: Preparation of N-biphenylmethyl cycloalkanecarboxamides as bradykinin B1 antagonists or inverse agonists useful in the treatment of pain and inflammation
INVENTOR(S): Wood, Michael R.; Anthony, Neville J.; Bock, Mark G.; Feng, Dong-mei; Kuduk, Scott D.; Su, Dai-shi; Wai, Jenny Miu-chun
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2

Updated Search

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2003065789	A2	20030814	WO 2003-US5782		20030204
WO 2003065789	A3	20040311			
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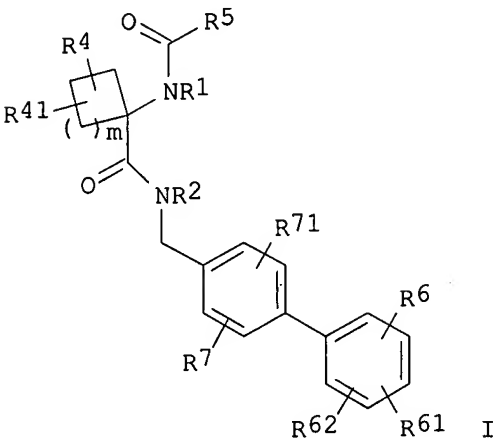
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2473778	A1	20030814	CA 2003-2473778		20030204
AU 2003217728	A1	20030902	AU 2003-217728		20030204
EP 1476419	A2	20041117	EP 2003-713689		20030204
EP 1476419	B1	20060201			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
JP 2005516979	T	20050609	JP 2003-565227		20030204
AT 316954	T	20060215	AT 2003-713689		20030204
ES 2256727	T3	20060716	ES 2003-3713689		20030204
US 2005085667	A1	20050421	US 2004-503502		20040803
US 7091380	B2	20060815			
ZA 200405697	A	20060531	ZA 2004-5697		20060317
PRIORITY APPLN. INFO.:			US 2002-355062P	P	20020208
			US 2002-410775P	P	20020912
			WO 2003-US5782	W	20030204

OTHER SOURCE(S): MARPAT 139:179892

GI



I

AB Title compds. [I; R1, R2 = H, alkyl; R4, R41 = H, halo, (substituted) alkyl; R5 = alkynyl, (substituted) alkyl, cycloalkyl, alkenyl, aryl(alkyl), heterocyclyl(alkyl), etc.; R6 = halo, cyano, NO2, cycloalkyl, (substituted) alkyl, alkenyl, amino, acylamino, heterocyclyl, etc.; R61, R62 = H, R6; R7, R71 = H, halo, cyano, NO2, OH, CO2H, alkyl, haloalkyl,

Updated Search

etc.; with provisos], were prepared for treatment of pain and inflammation (no data). Thus, a mixture of THF, H2O, K2CO3, Me 2-iodobenzoate, 4-cyanophenylboronic acid, and bis(tri-o-tolylphosphine)palladium(II) chloride was refluxed 3.5 h, cooled to ambient temperature, and stirred overnight to give Me 4'-cyano-1,1'-biphenyl-2-carboxylate. The latter in 2 M NH3 in MeOH with a 50% aqueous slurry of Raney Ni was stirred under H2 for 9 h to give a residue which was dissolved in Et2O/EtOAc prior to introduction of HCl to give Me 4'-(aminomethyl)-1,1'-biphenyl-2-carboxylate hydrochloride. To the free base of the above in THF was added 1-[(tert-butoxycarbonyl)amino]cyclopropanecarboxylic acid, Et3N, HOBt.H2O, and EDCI and the mixture was stirred overnight to provide Me 4'-[[[[1-[(tert-butoxycarbonyl)amino]cyclopropyl]carbonyl]amino]methyl]-1,1'-biphenyl-2-carboxylate. The latter was treated with HCl in CH2Cl2/MeOH to give the deprotected amine which was treated with HOBt.H2O, 3,3,3-trifluoropropionic acid, Et3N, and EDCI in DMF to give 78% Me 4'-[[[[1-[(3,3,3-trifluoropropanoyl)amino]cyclopropyl]carbonyl]amino]methyl]-1,1'-biphenyl-2-carboxylate.

IT 578766-74-2P 578766-79-7P 578766-80-0P
578766-81-1P 578767-09-6P 578767-19-8P
578767-29-0P 578767-31-4P 578767-35-8P
578767-36-9P 578767-39-2P 578767-41-6P
578767-45-0P 578767-46-1P 578767-47-2P
578767-48-3P 578767-58-5P 578767-59-6P
578767-60-9P 578767-61-0P 578767-62-1P
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578767-82-5P 578767-85-8P 578767-86-9P
578767-91-6P 578767-92-7P 578767-93-8P
578767-94-9P 578767-95-0P 578767-96-1P
578767-97-2P 578767-98-3P 578768-03-3P
578768-07-7P 578768-08-8P 578768-09-9P
578768-10-2P 578768-14-6P 578768-16-8P
578768-25-9P 578768-26-0P 578768-27-1P
578768-28-2P 578768-35-1P 578768-36-2P
578768-37-3P 578768-38-4P 578768-39-5P
578768-40-8P 578768-41-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-biphenylmethyl cycloalkanecarboxamides as bradykinin B1 antagonists or inverse agonists useful in the treatment of pain and inflammation)

RN 578766-74-2 HCAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 4'-[[[[1-[[(1,6-dihydro-6-oxo-3-pyridazinyl)carbonyl]amino]cyclopropyl]carbonyl]amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)

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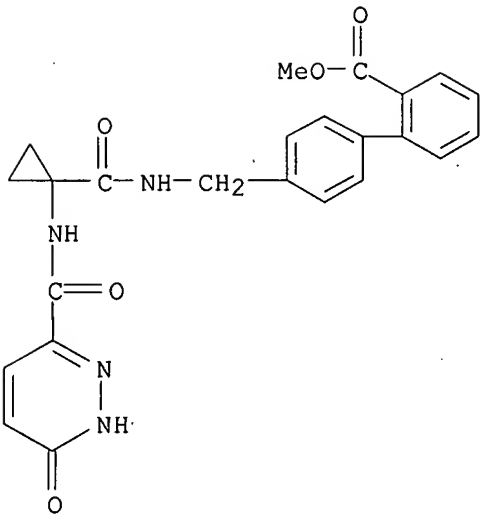
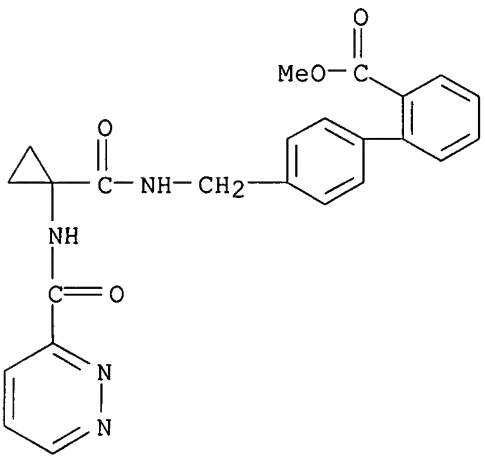
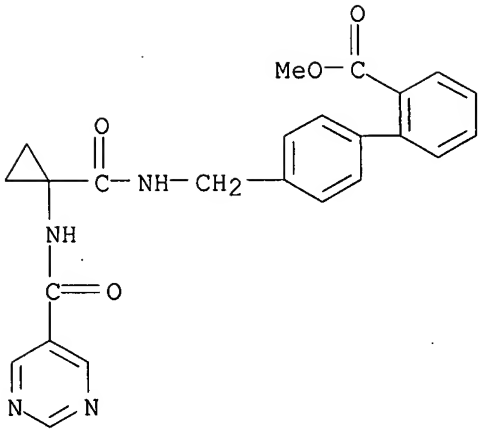


RN 578766-79-7 HCAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 4'-[[[[1-[(3-pyridazinylcarbonyl)amino]cyclopropyl]carbonyl]amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)

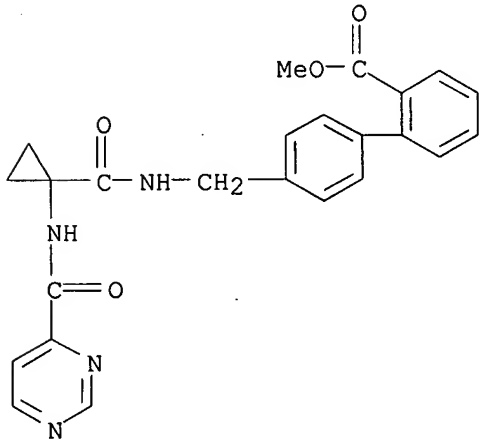


RN 578766-80-0 HCAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 4'-[[[[1-[(5-pyrimidinylcarbonyl)amino]cyclopropyl]carbonyl]amino]methyl]-, methyl ester (CA INDEX NAME)

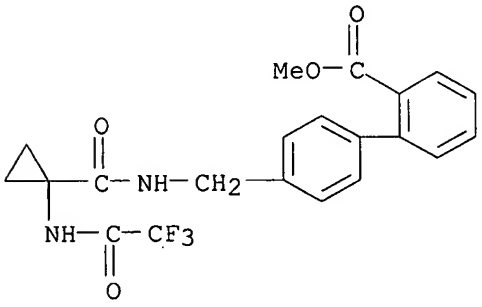
Updated Search



RN 578766-81-1 HCAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 4'-[[[[1-[(4-pyrimidinylcarbonyl)amino]cyclopropyl]carbonyl]amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)



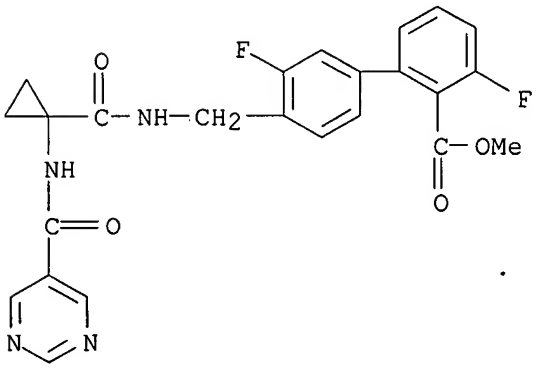
RN 578767-09-6 HCAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 4'-[[[[1-[(trifluoroacetyl)amino]cyclopropyl]carbonyl]amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)



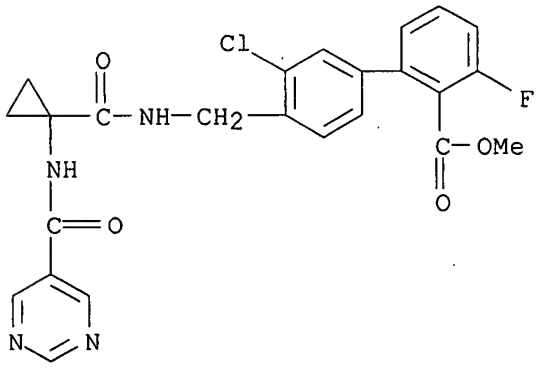
RN 578767-19-8 HCAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 3,3'-difluoro-4'-[[[[1-[(5-

Updated Search

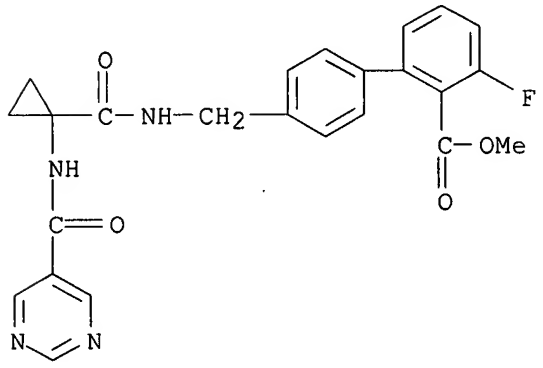
pyrimidinylcarbonyl)amino]cyclopropyl]carbonyl]amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 578767-29-0 HCAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 3'-chloro-3-fluoro-4'-[[[[1-[(5-pyrimidinylcarbonyl)amino]cyclopropyl]carbonyl]amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 578767-31-4 HCAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 3-fluoro-4'-[[[[1-[(5-pyrimidinylcarbonyl)amino]cyclopropyl]carbonyl]amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)



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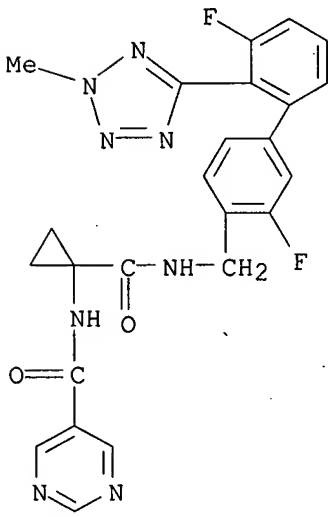
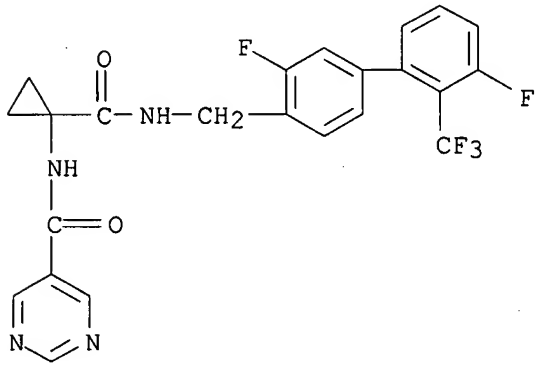
RN 578767-35-8 HCAPLUS
CN 5-Pyrimidinecarboxamide, N-[1-[[[[3,3'-difluoro-2'-(2-methyl-2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]amino]carbonyl]cyclopropyl]- (9CI) (CA INDEX NAME)



RN 578767-36-9 HCAPLUS
CN 5-Pyrimidinecarboxamide, N-[1-[[[[3,3'-difluoro-2'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]amino]carbonyl]cyclopropyl]- (9CI) (CA INDEX NAME)



RN 578767-39-2 HCAPLUS
CN 5-Pyrimidinecarboxamide, N-[1-[[[[3,3'-difluoro-2'-(3-methyl-1,2,4-oxadiazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]amino]carbonyl]cyclopropyl]- (9CI) (CA INDEX NAME)

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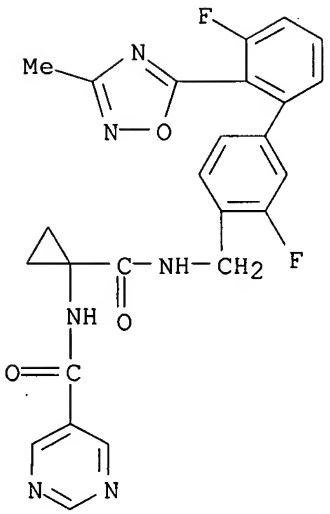
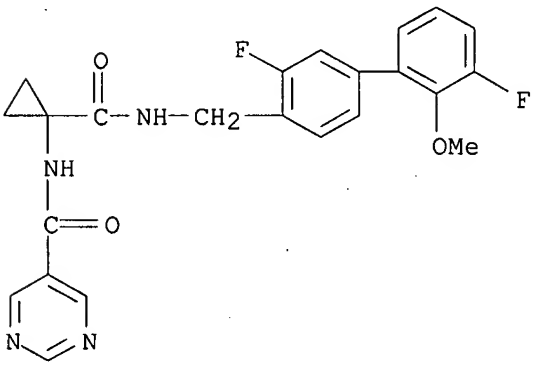
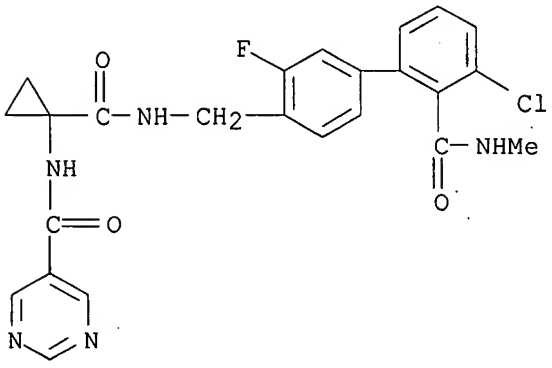


RN 578767-41-6 HCAPLUS
CN 5-Pyrimidinecarboxamide, N-[1-[[[(3,3'-difluoro-2'-methoxy[1,1'-biphenyl]-4-yl)methyl]amino]carbonyl]cyclopropyl]- (9CI) (CA INDEX NAME)



RN 578767-45-0 HCAPLUS
CN 5-Pyrimidinecarboxamide, N-[1-[[[[3'-chloro-3-fluoro-2'-[(methylamino)carbonyl][1,1'-biphenyl]-4-yl]methyl]amino]carbonyl]cyclopropyl]- (9CI) (CA INDEX NAME)



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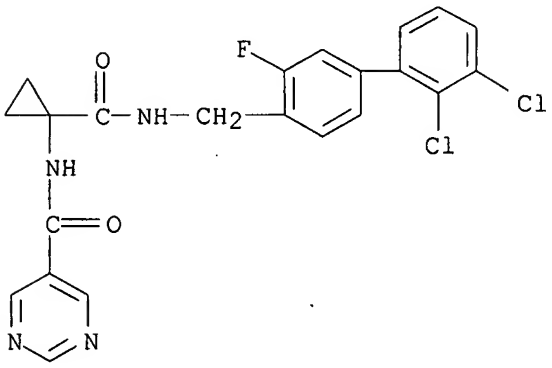
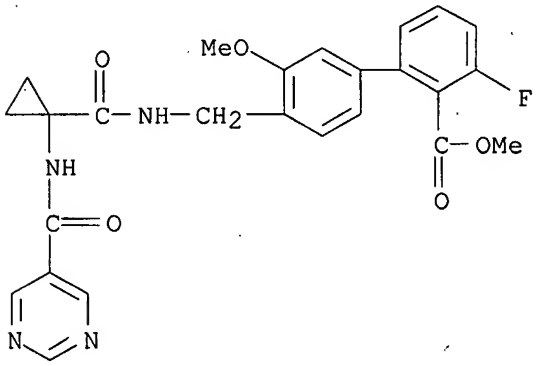
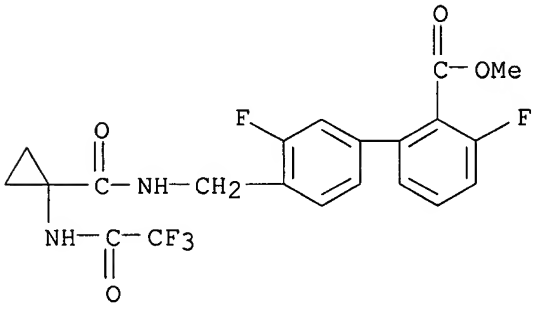
RN 578767-46-1 HCAPLUS
CN 5-Pyrimidinecarboxamide, N-[1-[[[(2',3'-dichloro-3-fluoro[1,1'-biphenyl]-4-yl)methyl]amino]carbonyl]cyclopropyl]- (9CI) (CA INDEX NAME)



RN 578767-47-2 HCAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 3-fluoro-3'-methoxy-4'-[[[[1-[(5-pyrimidinylcarbonyl)amino]cyclopropyl]carbonyl]amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)



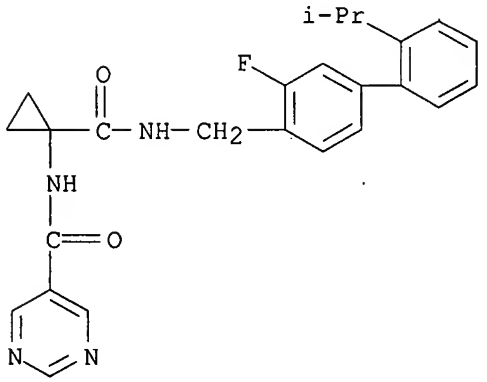
RN 578767-48-3 HCAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 3,3'-difluoro-4'-[[[[1-[(2,2,2-trifluoroacetyl)amino]cyclopropyl]carbonyl]amino]methyl]-, methyl ester (CA INDEX NAME)



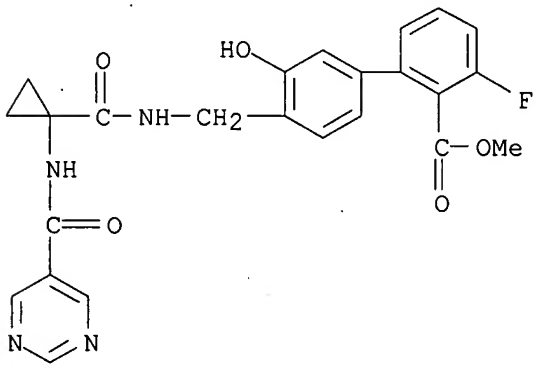
RN 578767-58-5 HCAPLUS

Updated Search

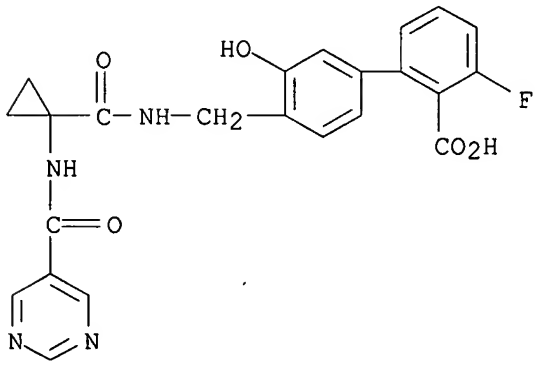
CN 5-Pyrimidinecarboxamide, N-[1-[[[[3-fluoro-2'-(1-methylethyl)[1,1'-biphenyl]-4-yl]methyl]amino]carbonyl]cyclopropyl]- (9CI) (CA INDEX NAME)



RN 578767-59-6 HCAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 3-fluoro-3'-hydroxy-4'-[[[[1-[(5-pyrimidinylcarbonyl)amino]cyclopropyl]carbonyl]amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 578767-60-9 HCAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 3-fluoro-3'-hydroxy-4'-[[[[1-[(5-pyrimidinylcarbonyl)amino]cyclopropyl]carbonyl]amino]methyl]- (9CI) (CA INDEX NAME)



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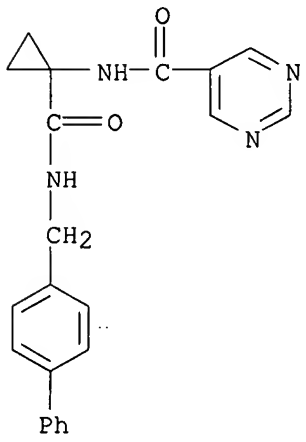
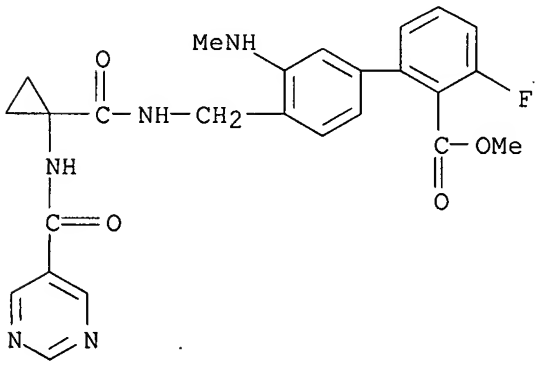
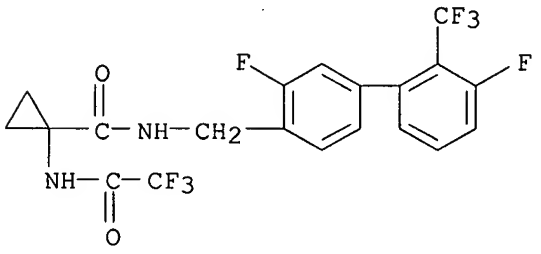
RN 578767-61-0 HCAPLUS
CN 5-Pyrimidinecarboxamide, N-[1-[[([1,1'-biphenyl]-4-ylmethyl)amino]carbonyl]cyclopropyl]- (9CI) (CA INDEX NAME)



RN 578767-62-1 HCAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 3-fluoro-3'-(methylamino)-4'-[[[[1-[(5-pyrimidinylcarbonyl)amino]cyclopropyl]carbonyl]amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)



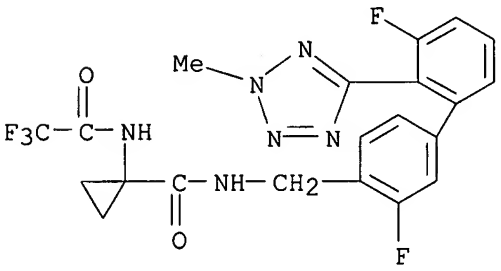
RN 578767-65-4 HCAPLUS
CN Cyclopropanecarboxamide, N-[[3,3'-difluoro-2'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]-1-[(trifluoroacetyl)amino]- (9CI) (CA INDEX NAME)



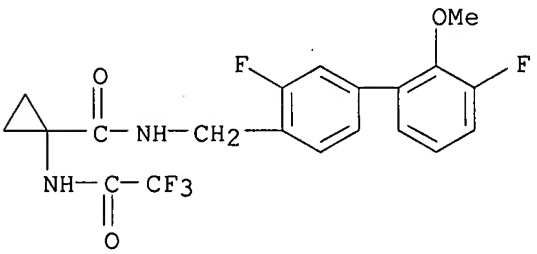
RN 578767-67-6 HCAPLUS

Updated Search

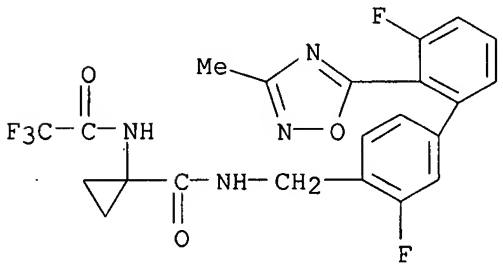
CN Cyclopropanecarboxamide, N-[[3,3'-difluoro-2'-(2-methyl-2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-1-[(trifluoroacetyl)amino]- (9CI) (CA INDEX NAME)



RN 578767-68-7 HCAPLUS
CN Cyclopropanecarboxamide, N-[(3,3'-difluoro-2'-methoxy[1,1'-biphenyl]-4-yl)methyl]-1-[(trifluoroacetyl)amino]- (9CI) (CA INDEX NAME)

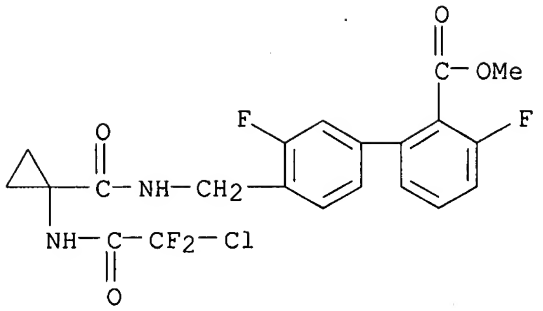


RN 578767-71-2 HCAPLUS
CN Cyclopropanecarboxamide, N-[[3,3'-difluoro-2'-(3-methyl-1,2,4-oxadiazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-1-[(trifluoroacetyl)amino]- (9CI) (CA INDEX NAME)

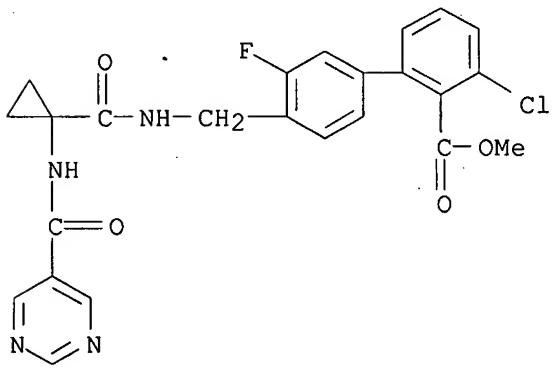


RN 578767-74-5 HCAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 4'-[[[[1-[(2-chloro-2,2-difluoroacetyl)amino]cyclopropyl]carbonyl]amino]methyl]-3,3'-difluoro-, methyl ester (CA INDEX NAME)

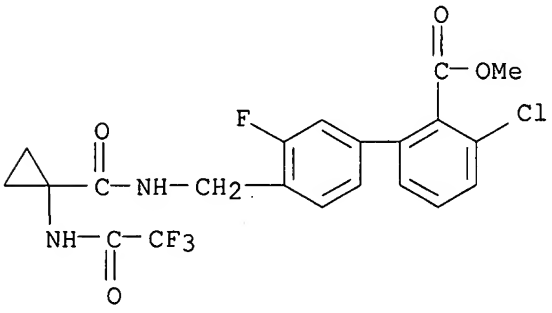
Updated Search



RN 578767-78-9 HCAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 3-chloro-3'-fluoro-4'-[[[[1-[(5-pyrimidinylcarbonyl)amino]cyclopropyl]carbonyl]amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)

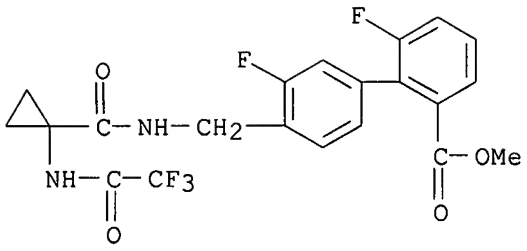


RN 578767-82-5 HCAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 3-chloro-3'-fluoro-4'-[[[[1-[(trifluoroacetyl)amino]cyclopropyl]carbonyl]amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)

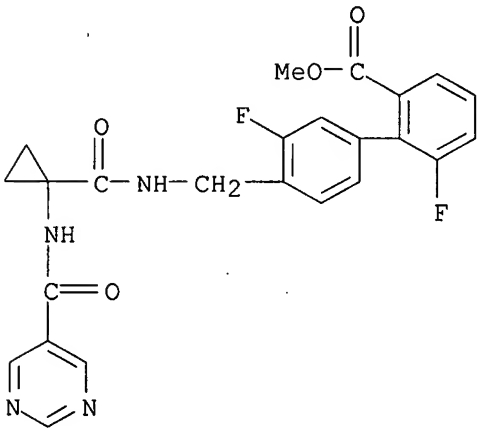


RN 578767-85-8 HCAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 3',6-difluoro-4'-[[[[1-[(trifluoroacetyl)amino]cyclopropyl]carbonyl]amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)

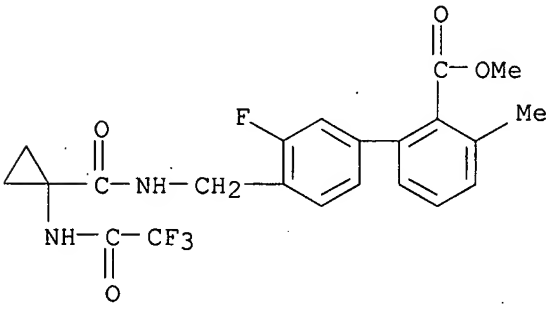
Updated Search



RN 578767-86-9 HCAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 3',6-difluoro-4'-[[[[1-[(5-pyrimidinylcarbonyl)amino]cyclopropyl]carbonyl]amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)

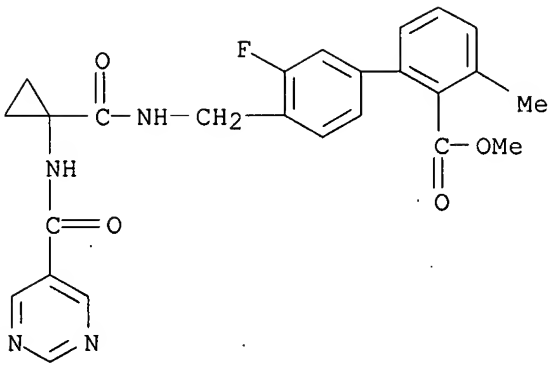


RN 578767-91-6 HCAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 3'-fluoro-3-methyl-4'-[[[[1-[(trifluoroacetyl)amino]cyclopropyl]carbonyl]amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)

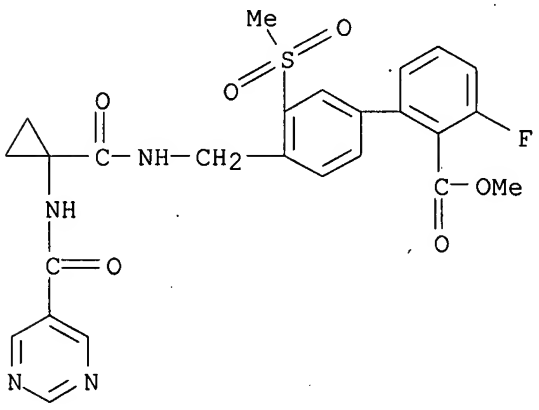


RN 578767-92-7 HCAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 3'-fluoro-3-methyl-4'-[[[[1-[(5-pyrimidinylcarbonyl)amino]cyclopropyl]carbonyl]amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)

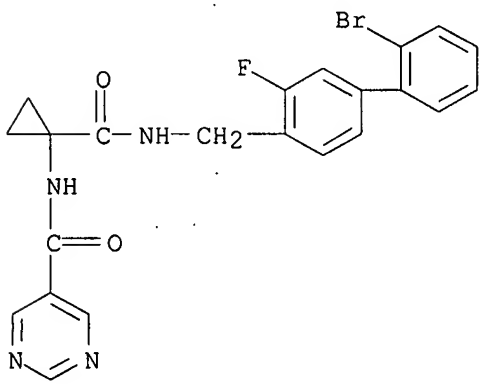
Updated Search



RN 578767-93-8 HCAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 3-fluoro-3'-(methylsulfonyl)-4'-[[[[1-[(5-pyrimidinylcarbonyl)amino]cyclopropyl]carbonyl]amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)



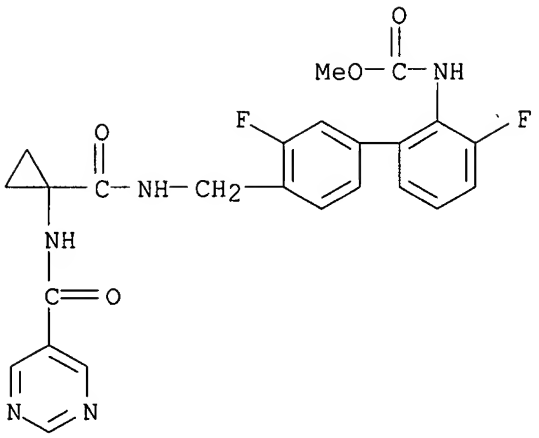
RN 578767-94-9 HCAPLUS
CN 5-Pyrimidinecarboxamide, N-[1-[[[(2'-bromo-3-fluoro[1,1'-biphenyl]-4-yl)methyl]amino]carbonyl]cyclopropyl]- (9CI) (CA INDEX NAME)



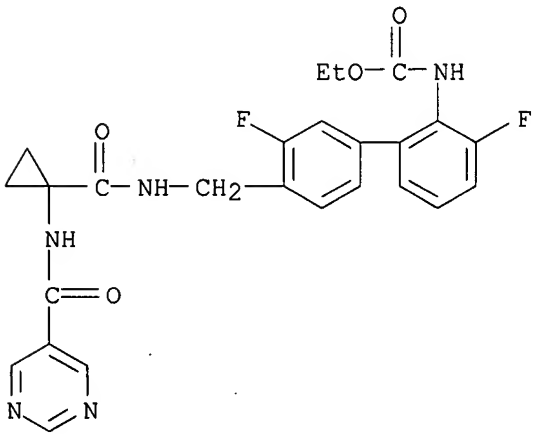
RN 578767-95-0 HCAPLUS
CN Carbamic acid, [3,3'-difluoro-4'-[[[[1-[(5-pyrimidinylcarbonyl)amino]cyclopropyl]carbonyl]amino]methyl][1,1'-biphenyl]-2-yl]-, methyl ester (9CI)

Updated Search

(CA INDEX NAME)

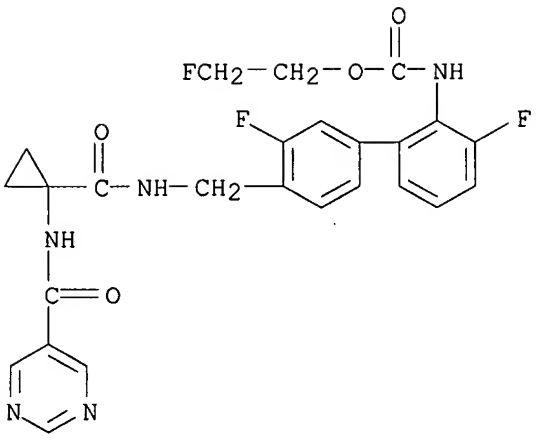


RN 578767-96-1 HCAPLUS
CN Carbamic acid, [3,3'-difluoro-4'-[[[[1-[(5-pyrimidinylcarbonyl)amino]cyclo propyl]carbonyl]amino]methyl][1,1'-biphenyl]-2-yl]-, ethyl ester (9CI) (CA INDEX NAME)

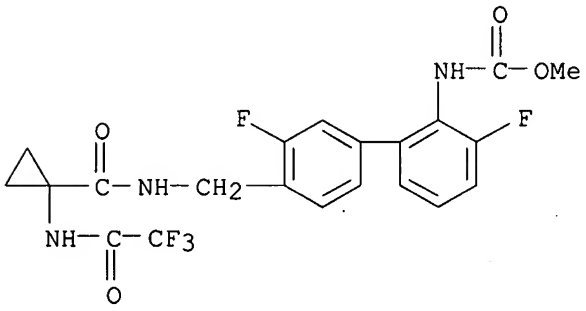


RN 578767-97-2 HCAPLUS
CN Carbamic acid, [3,3'-difluoro-4'-[[[[1-[(5-pyrimidinylcarbonyl)amino]cyclo propyl]carbonyl]amino]methyl][1,1'-biphenyl]-2-yl]-, 2-fluoroethyl ester (9CI) (CA INDEX NAME)

Updated Search



RN 578767-98-3 HCAPLUS
CN Carbamic acid, [3,3'-difluoro-4'-[[[[1-[(trifluoroacetyl)amino]cyclopropyl]carbonyl]amino]methyl][1,1'-biphenyl]-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



RN 578768-03-3 HCAPLUS
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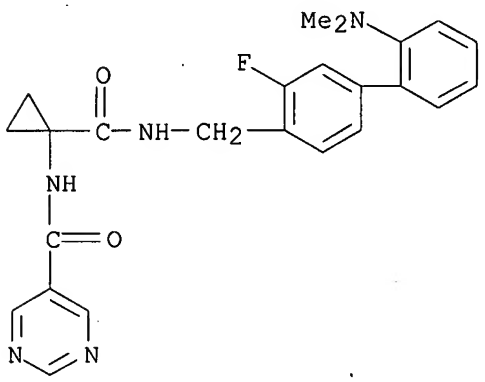
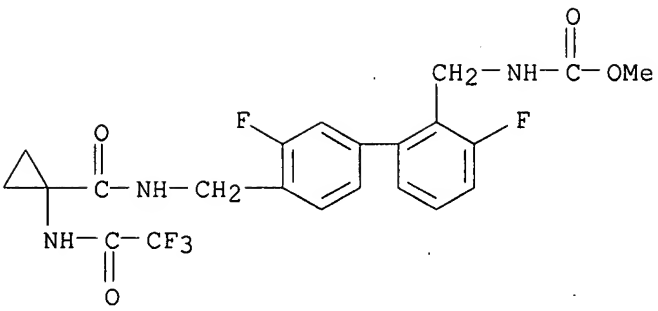
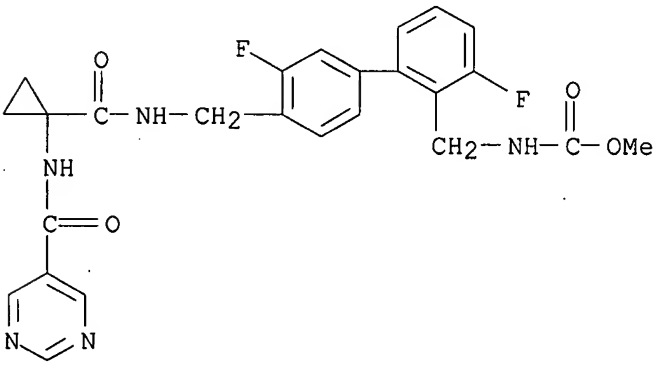


RN 578768-07-7 HCAPLUS
CN Carbamic acid, [[3,3'-difluoro-4'-[[[[1-[(trifluoroacetyl)amino]cyclopropyl]carbonyl]amino]methyl][1,1'-biphenyl]-2-yl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

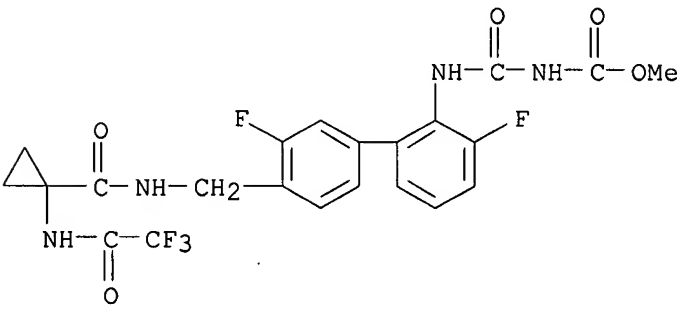
Updated Search



RN 578768-08-8 HCAPLUS
CN Carbamic acid, [[3,3'-difluoro-4'-[[[[1-[(5-pyrimidinylcarbonyl)amino]cyclopropyl]carbonyl]amino]methyl][1,1'-biphenyl]-2-yl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

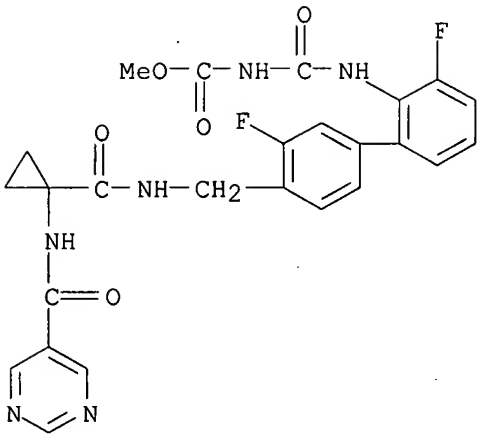


RN 578768-09-9 HCAPLUS
CN Carbamic acid, [[[3,3'-difluoro-4'-[[[[1-[(trifluoroacetyl)amino]cyclopropyl]carbonyl]amino]methyl][1,1'-biphenyl]-2-yl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

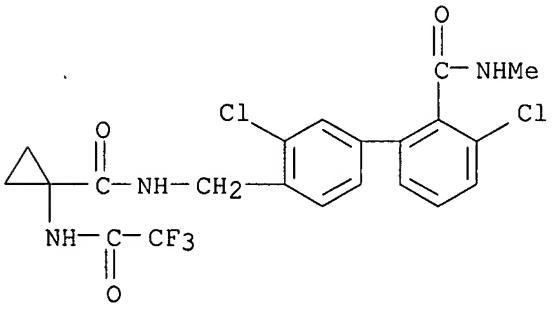


RN 578768-10-2 HCAPLUS
CN Carbamic acid, [[[3,3'-difluoro-4'-[[[[1-[(5-pyrimidinylcarbonyl)amino]cyclopropyl]carbonyl]amino]methyl][1,1'-biphenyl]-2-yl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

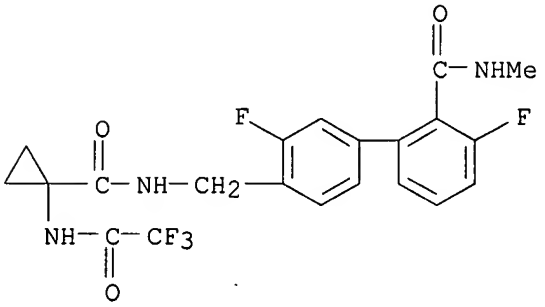
Updated Search



RN 578768-14-6 HCAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 3,3'-dichloro-N-methyl-4'-[[[[1-[(trifluoroacetyl)amino]cyclopropyl]carbonyl]amino]methyl]- (9CI) (CA INDEX NAME)

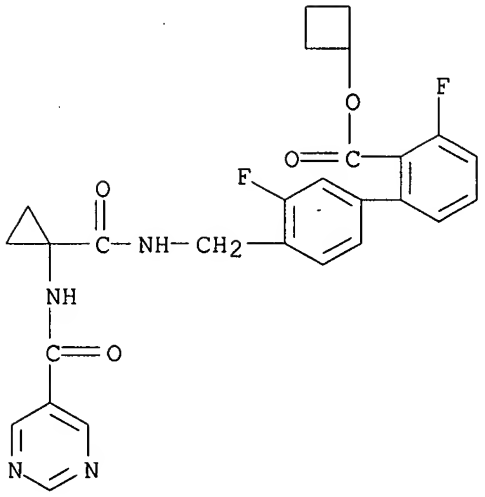


RN 578768-16-8 HCAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 3,3'-difluoro-N-methyl-4'-[[[[1-[(trifluoroacetyl)amino]cyclopropyl]carbonyl]amino]methyl]- (9CI) (CA INDEX NAME)

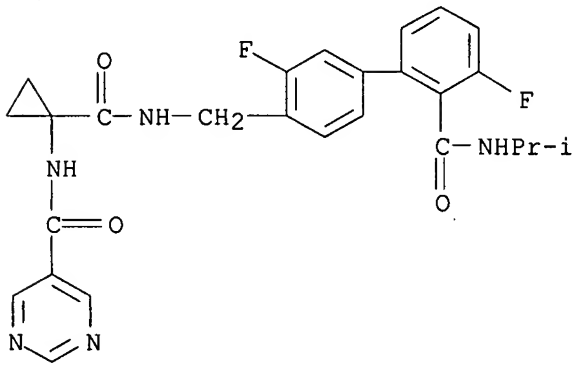


RN 578768-25-9 HCAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 3,3'-difluoro-4'-[[[[1-[(5-pyrimidinylcarbonyl)amino]cyclopropyl]carbonyl]amino]methyl]-, cyclobutyl ester (9CI) (CA INDEX NAME)

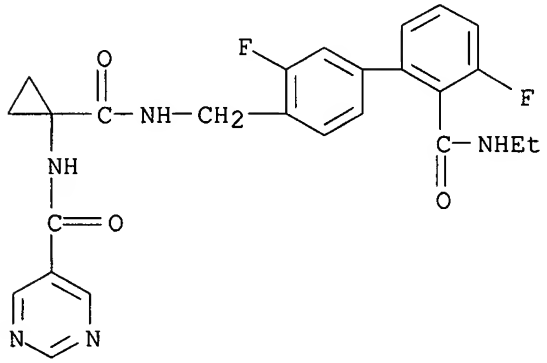
Updated Search



RN 578768-26-0 HCAPLUS
CN 5-Pyrimidinecarboxamide, N-[1-[[[[3,3'-difluoro-2'-[[(1-methylethyl)amino]carbonyl][1,1'-biphenyl]-4-yl]methyl]amino]carbonyl]cyclopropyl]- (9CI) (CA INDEX NAME)

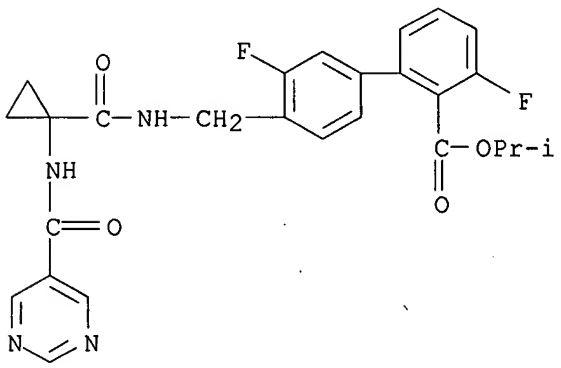


RN 578768-27-1 HCAPLUS
CN 5-Pyrimidinecarboxamide, N-[1-[[[[2'-[(ethylamino)carbonyl]-3,3'-difluoro[1,1'-biphenyl]-4-yl]methyl]amino]carbonyl]cyclopropyl]- (9CI) (CA INDEX NAME)

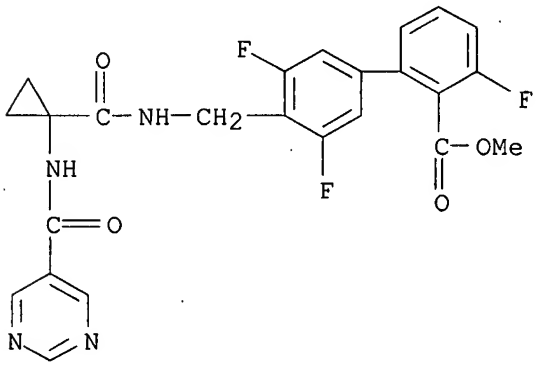


Updated Search

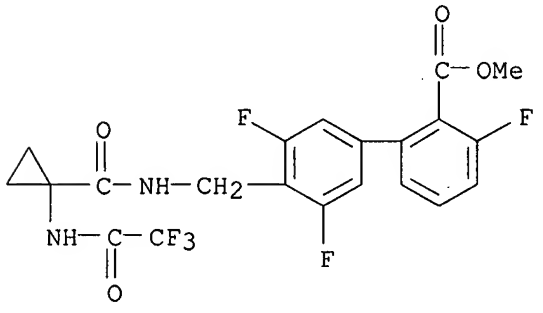
RN 578768-28-2 HCAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 3,3'-difluoro-4'-[[[[1-[(5-pyrimidinylcarbonyl)amino]cyclopropyl]carbonyl]amino]methyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)



RN 578768-35-1 HCAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 3,3',5'-trifluoro-4'-[[[[1-[(5-pyrimidinylcarbonyl)amino]cyclopropyl]carbonyl]amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)

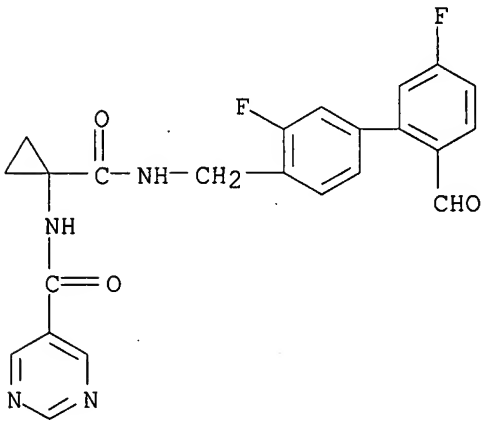


RN 578768-36-2 HCAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 3,3',5'-trifluoro-4'-[[[[1-[(trifluoroacetyl)amino]cyclopropyl]carbonyl]amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)

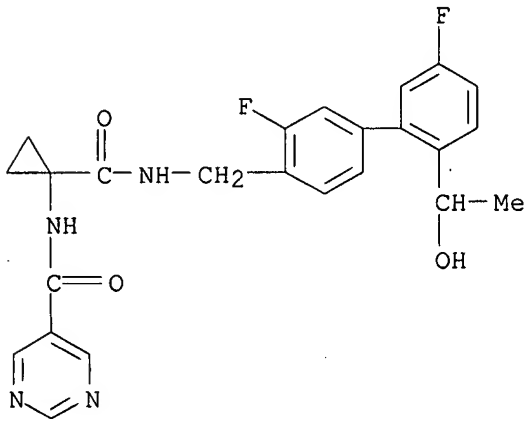


Updated Search

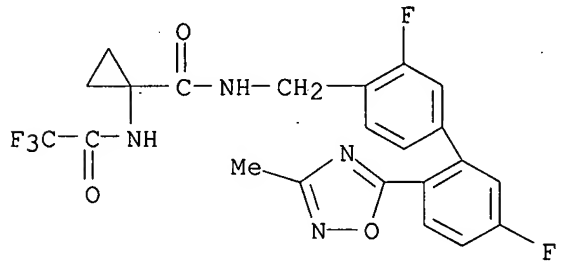
RN 578768-37-3 HCAPLUS
CN 5-Pyrimidinecarboxamide, N-[1-[[[(3,5'-difluoro-2'-formyl[1,1'-biphenyl]-4-yl)methyl]amino]carbonyl]cyclopropyl]- (9CI) (CA INDEX NAME)



RN 578768-38-4 HCAPLUS
CN 5-Pyrimidinecarboxamide, N-[1-[[[[3,5'-difluoro-2'-(1-hydroxyethyl)[1,1'-biphenyl]-4-yl]methyl]amino]carbonyl]cyclopropyl]- (9CI) (CA INDEX NAME)

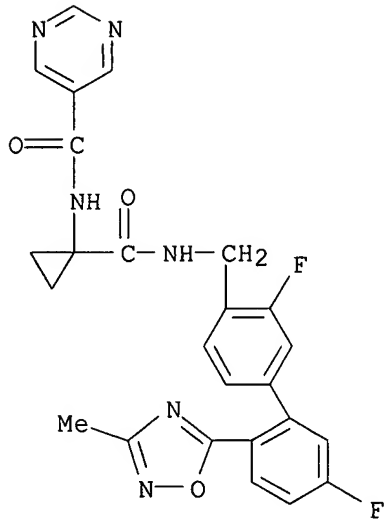


RN 578768-39-5 HCAPLUS
CN Cyclopropanecarboxamide, N-[[3,5'-difluoro-2'-(3-methyl-1,2,4-oxadiazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-1-[(trifluoroacetyl)amino]- (9CI) (CA INDEX NAME)

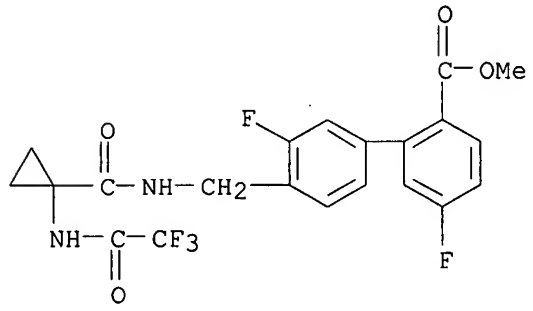


Updated Search

RN 578768-40-8 HCAPLUS
CN 5-Pyrimidinecarboxamide, N-[1-[[[[3,5'-difluoro-2'-(3-methyl-1,2,4-oxadiazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]amino]carbonyl]cyclopropyl]-(9CI) (CA INDEX NAME)



RN 578768-41-9 HCAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 3',5-difluoro-4'-[[[[1-[(trifluoroacetyl)amino]cyclopropyl]carbonyl]amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)



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FILE 'REGISTRY' ENTERED AT 02:46:26 ON 25 SEP 2007
L1 STRUCTURE UPLOADED
L2 3 S L1
L3 60 S L1 FULL

FILE 'HCAPLUS' ENTERED AT 02:50:23 ON 25 SEP 2007
L4 5 S L3
L5 2 S L4 AND ANTHONY, N?/AU

Updated Search

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          2087 GOMEZ, R?/AU
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L10           0 L6 AND SU, D?/AU

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L6   ANSWER 1 OF 3  HCAPLUS  COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER:         2007:38680  HCAPLUS
DOCUMENT NUMBER:          146:128654
TITLE:                    Pharmaceutical compositions containing kinin
                          antagonists for the the treatment of bladder diseases
INVENTOR(S):              Gibson, Christoph; Hummel, Gerd; Knolle, Jochen;
                          Reineke, Ulrich; Tradler, Thomas
PATENT ASSIGNEE(S):       Jerini A.-G., Germany
SOURCE:                   PCT Int. Appl., 89pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.          DATE
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     WO 2007003411         A2    20070111    WO 2006-EP6504           20060704
     WO 2007003411         A3    20070518
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP,
             KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN,
             MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU,
             SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG,
             US, UZ, VC, VN, ZA, ZM, ZW
         RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
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             CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
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             KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA
     EP 1741444            A1    20070110    EP 2005-14581            20050705
         R:  AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL,
             BA, HR, MK, YU
PRIORITY APPLN. INFO.:                        EP 2005-14581        A  20050705
OTHER SOURCE(S):          MARPAT 146:128654
AB   The present invention is related to the use of a kinin receptor antagonist
     for the manufacture of a medicament for the treatment and/or prevention of
     bladder dysfunction, whereby the kinin receptor is selected from the group


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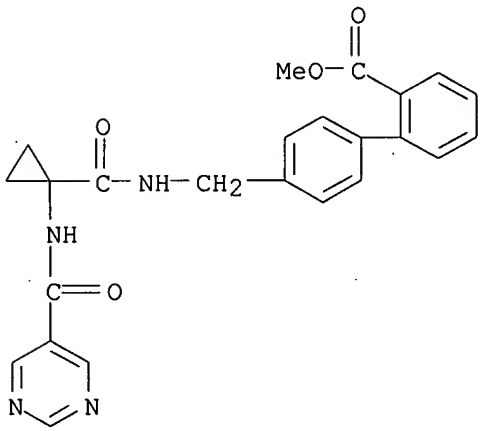
comprising B1 and B2 receptors. For example, i.v. injections containing B1 kinin receptor R-715 and B2 receptor antagonist icatibant was found to have the effect of alleviating the overactive bladder.

IT 578766-80-0
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical compns. containing kinin antagonists for the the treatment of bladder diseases)

RN 578766-80-0 HCAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 4'-[[[[1-[(5-pyrimidinylcarbonyl)amino]cyclopropyl]carbonyl]amino]methyl]-, methyl ester (CA INDEX NAME)



L6 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:1343127 HCAPLUS

DOCUMENT NUMBER: 146:220125

TITLE: Development of Orally Bioavailable and CNS Penetrant Biphenylaminocyclopropane Carboxamide Bradykinin B1 Receptor Antagonists

AUTHOR(S): Kuduk, Scott D.; Di Marco, Christina N.; Chang, Ronald K.; Wood, Michael R.; Schirripa, Kathy M.; Kim, June J.; Wai, Jenny M. C.; DiPardo, Robert M.; Murphy, Kathy L.; Ransom, Richard W.; Harrell, C. Meacham; Reiss, Duane R.; Holahan, Marie A.; Cook, Jacquelynn; Hess, J. Fred; Sain, Nova; Urban, Mark O.; Tang, Cuyue; Prueksaritanont, Thomayant; Pettibone, Douglas J.; Bock, Mark G.

CORPORATE SOURCE: Departments of Medicinal Chemistry, Neuroscience Drug Discovery, Pain Research, and Drug Metabolism, Merck Research Laboratories, West Point, PA, 19486, USA

SOURCE: Journal of Medicinal Chemistry (2007), 50(2), 272-282
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 146:220125

AB A series of biphenylaminocyclopropane carboxamide based bradykinin B1 receptor antagonists has been developed that possesses good pharmacokinetic properties and is CNS penetrant. Discovery that the replacement of the trifluoropropionamide in the lead structure with polyhaloacetamides, particularly a trifluoroacetamide, significantly reduced P-glycoprotein mediated efflux for the series proved essential. One of these novel bradykinin B1 antagonists (13b) also exhibited suitable

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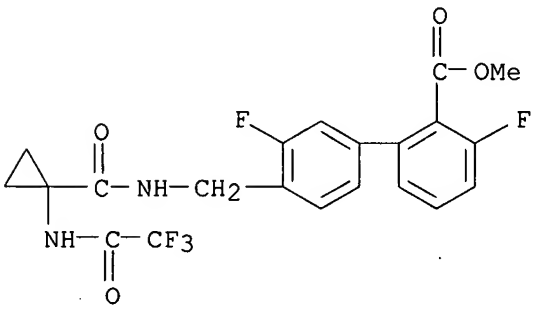
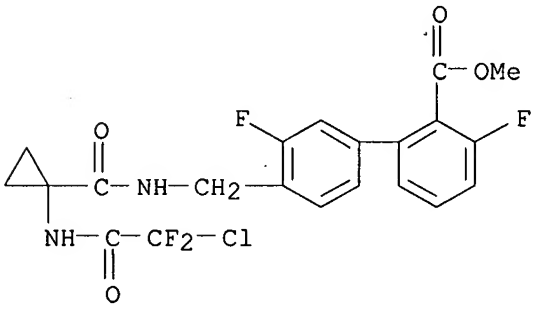
pharmacokinetic properties and efficient ex vivo receptor occupancy for further development as a novel approach for the treatment of pain and inflammation.

IT 578767-48-3P 578767-74-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of oral CNS penetrant biphenylaminocyclopropane carboxamide derivs. as bradykinin B1 receptor antagonists for treatment of pain and inflammation)

RN 578767-48-3 HCAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 3,3'-difluoro-4'-[[[[1-[(2,2,2-trifluoroacetyl)amino]cyclopropyl]carbonyl]amino]methyl]-, methyl ester (CA INDEX NAME)



RN 578767-74-5 HCAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 4'-[[[[1-[(2-chloro-2,2-difluoroacetyl)amino]cyclopropyl]carbonyl]amino]methyl]-3,3'-difluoro-, methyl ester (CA INDEX NAME)

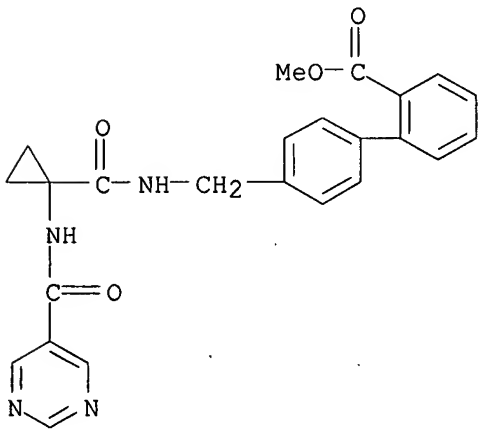


REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:83153 HCAPLUS
DOCUMENT NUMBER: 144:304953
TITLE: Cyclopropylamino Acid Amide as a Pharmacophoric Replacement for 2,3-Diaminopyridine. Application to the Design of Novel Bradykinin B1 Receptor Antagonists
AUTHOR(S): Wood, Michael R.; Schirripa, Kathy M.; Kim, June J.; Wan, Bang-Lin; Murphy, Kathy L.; Ransom, Richard W.; Chang, Raymond S. L.; Tang, Cuyue; Prueksaritanont, Thomayant; Detwiler, Theodore J.; Hettrick, Lisa A.;

Updated Search

Landis, Elizabeth R.; Leonard, Yvonne M.; Krueger, Julie A.; Lewis, Sidney D.; Pettibone, Douglas J.; Freidinger, Roger M.; Bock, Mark G.
CORPORATE SOURCE: Departments of Medicinal Chemistry, Neuroscience, Drug Metabolism, and Chemical Biology, Merck Research Laboratories, West Point, PA, 19486, USA
SOURCE: Journal of Medicinal Chemistry (2006), 49(4), 1231-1234
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 144:304953
AB Antagonism of the bradykinin B1 receptor represents a potential treatment for chronic pain and inflammation. Novel antagonists were designed that display low-nanomolar affinity for the human bradykinin B1 receptor and good bioavailability in the rat.
IT 578766-80-0P
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(cyclopropylamino acid amide as pharmacophore for diaminopyridine: bradykinin receptor antagonists preparation for potential treatment of chronic pain and inflammation)
RN 578766-80-0 HCAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 4'-[[[[1-[(5-pyrimidinylcarbonyl)amino]cyclopropyl]carbonyl]amino]methyl]-, methyl ester (CA INDEX NAME)



REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> file caold

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
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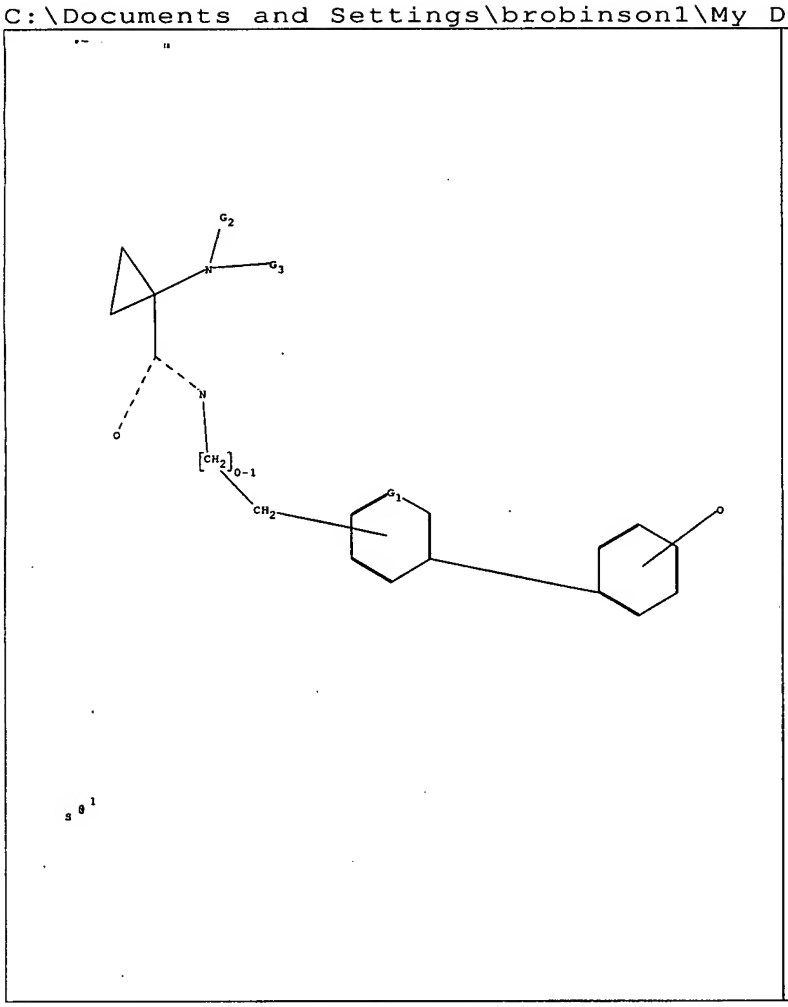

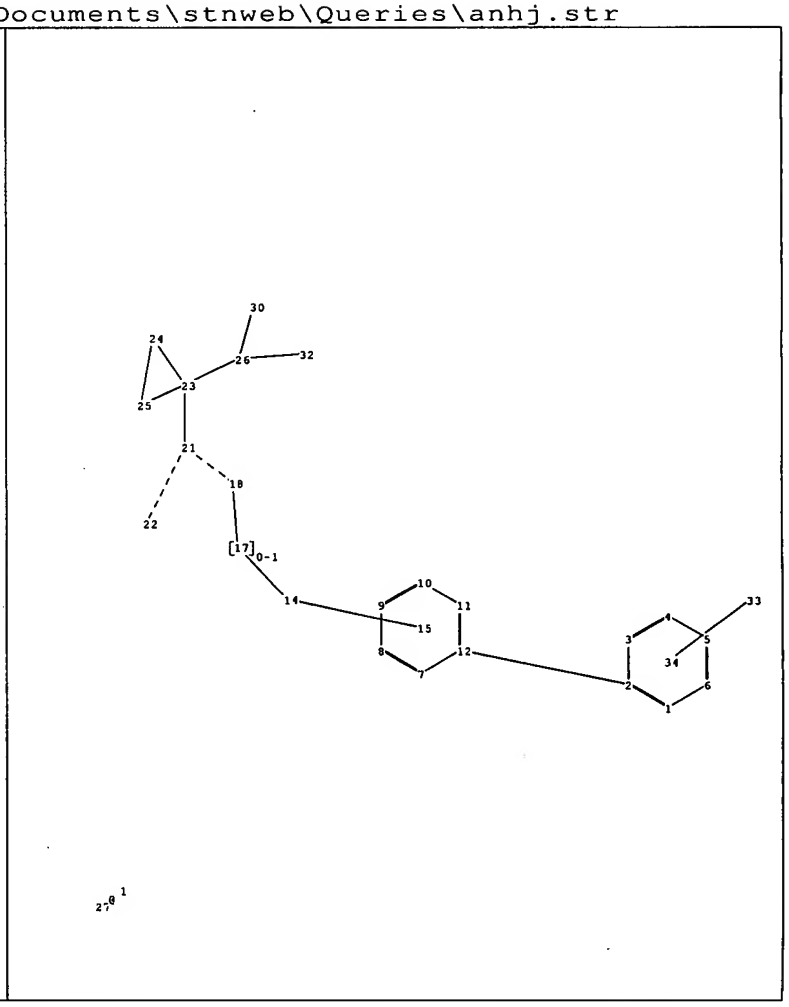

chain nodes :
14 17 18 21 22 26 27 30 32 33
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 23 24 25
chain bonds :
2-12 14-17 17-18 18-21 21-22 21-23 23-26 26-30 26-32
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 23-24
23-25 24-25
exact/norm bonds :
2-12 9-10 10-11 14-17 17-18 18-21 21-22 21-23 23-24 23-25 23-26
24-25 26-30 26-32
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 11-12
isolated ring systems :
containing 1 : 7 : 23 :

G1:C,N

G2:C,[*1]

G3:H,Ak

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom
10:Atom 11:Atom 12:Atom 14:CLASS 15:Atom 17:CLASS 18:CLASS 21:CLASS
22:CLASS 23:Atom 24:Atom 25:Atom 26:CLASS 27:CLASS 30:CLASS 32:CLASS
33:CLASS 34:Atom

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NEWS 20	SEP 13	INPADOCDB enhanced with monthly SDI frequency
NEWS 21	SEP 17	CA/CAplus enhanced with printed CA page images from 1967-1998
NEWS 22	SEP 17	CAplus coverage extended to include traditional medicine patents
NEWS 23	SEP 24	EMBASE, EMBAL, and LEMBASE reloaded with enhancements

NEWS EXPRESS 19 SEPTEMBER 2007: CURRENT WINDOWS VERSION IS V8.2, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007.

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Updated Search

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DICTIONARY FILE UPDATES: 23 SEP 2007 HIGHEST RN 947726-74-1

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L1 STRUCTURE UPLOADED

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SAMPLE SCREEN SEARCH COMPLETED - 96 TO ITERATE

100.0% PROCESSED 96 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
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PROJECTED ITERATIONS: 1333 TO 2507
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 full
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FULL SEARCH INITIATED 01:34:27 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1964 TO ITERATE

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SEARCH TIME: 00.00.01

L3 9 SEA SSS FUL L1

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FULL ESTIMATED COST 177.50 177.71

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FILE COVERS 1907 - 25 Sep 2007 VOL 147 ISS 14
FILE LAST UPDATED: 24 Sep 2007 (20070924/ED)

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=> s l3
L4 3 L3

=> s l4 and anthony, n?/au
140 ANTHONY, N?/AU
L5 2 L4 AND ANTHONY, N?/AU

=> d l5, ibib abs hitstr, 1-2

L5 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:158641 HCAPLUS
DOCUMENT NUMBER: 142:261546
TITLE: Preparation of sulfonyl substituted N-(biarylmethyl)aminocyclopropanecarboxamides as bradykinin B1 antagonists or inverse agonists
INVENTOR(S): Anthony, Neville J.; Gomez, Robert; Jolly, Samson M.; Lim, John Jin; Su, Dai-shi
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

Updated Search

WO 2005016886	A1	20050224	WO 2004-US25037		20040803
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
AU 2004265300	A1	20050224	AU 2004-265300		20040803
CA 2534188	A1	20050224	CA 2004-2534188		20040803
EP 1654232	A1	20060510	EP 2004-779955		20040803
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK					
CN 1832922	A	20060913	CN 2004-80022661		20040803
JP 2007501790	T	20070201	JP 2006-522671		20040803
US 2006247229	A1	20061102	US 2006-565040		20060118
IN 2006DN00523	A	20070810	IN 2006-DN523		20060131
PRIORITY APPLN. INFO.:			US 2003-493146P	P	20030807
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			WO 2004-US25037	W	20040803

OTHER SOURCE(S): CASREACT 142:261546; MARPAT 142:261546

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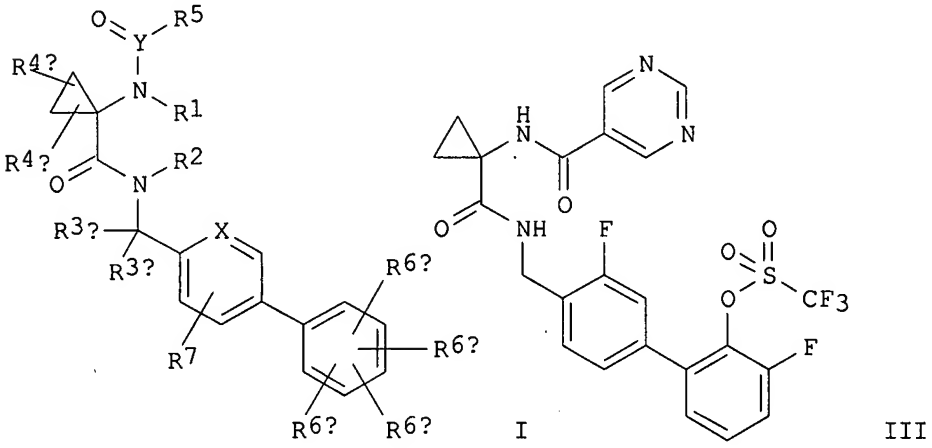

I III

AB N-(Sulfonyloxybiarylmethyl)aminocyclopropanecarboxamide derivs. (I) [R1, R2 = H, C1-4 alkyl; R3a, R3b = H, (un)substituted C1-4 alkyl; R4a, R4b = H, halogen, (un)substituted C1-4 alkyl; or R4a and R4b together with the carbon atom to which they are both attached form an (un)substituted exocyclic methylene; R5 = each (un)substituted C1-6 alkyl, C3-8 cycloalkyl, C3-6 alkynyl, C2-6 alkenyl, (CH2)k-aryl, (CH2)k-heterocycle; R6a = -OSO2R8, -NR8aSO2R9, -C(R8b)(R8c)SO2R9; R6b, R6c, R6d = H, halogen, OSO2R8, (un)substituted C1-4 alkyl, cyano, nitro, ORa, CO2Ra, or when attached to adjacent carbon atoms R6C and R6d together with the carbon atoms to which they are attached form a 5- to 8-membered saturated or unsatd. ring; R7 = H, halogen, cyano, nitro, ORa, CO2Ra, C(O)NRbRc, (un)substituted C1-4 alkyl; R8 = H, each (un)substituted C1-4 alkyl,

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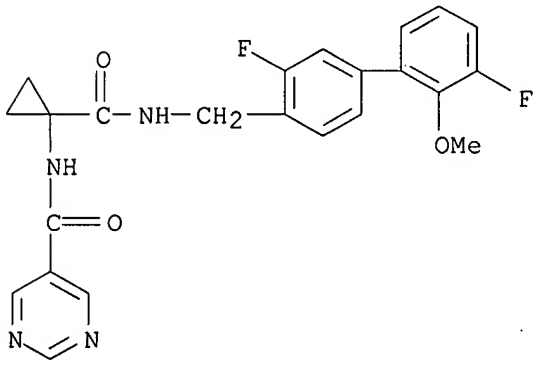
(CH2)k-aryl, or NH2; R8a, R8b, R8c = H, (un)substituted C1-4 alkyl; or when R6a and R6b are attached to adjacent atoms, R8a and R6b together complete 5- or 6-membered ring; R9 = each (un)substituted C1-4 alkyl, aryl, or (CH2)k-aryl; Ra, Rb, Rc = H, each C1-4 alkyl or Ph, C3-6 cycloalkyl; or NRbRc together forms a cyclic imide or a 4-, 5-, or 6-membered ring optionally containing an addnl. heteroatom selected from N, O, and S; X = CH, N; Y = C, S(O); k = 0, 1, 2]. These compds. are bradykinin B1 antagonists or inverse agonists useful in the treatment or prevention of symptoms such as pain and inflammation associated with the bradykinin B1 pathway. Thus, N-[1-[[[2-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)benzyl]amino]carbonyl]cyclopropyl]pyrimidine-5-carboxamide was coupled with 1-bromo-3-fluoro-2-methoxybenzene in the presence of tetrakis(triphenylphosphine)palladium(0) and potassium phosphate at 110° for 16 h to give N-[1-[[[(3,3'-difluoro-2'-methoxy-1,1'-biphenyl-4-yl)methyl]amino]carbonyl]cyclopropyl]pyrimidine-5-carboxamide which was treated with boron tribromide in CH2Cl2 at room temperature for 48 h to give N-[1-[[[(3,3'-difluoro-2'-hydroxy-1,1'-biphenyl-4-yl)methyl]amino]carbonyl]cyclopropyl]pyrimidine-5-carboxamide (II). II was stirred with tifluoromethanesulfonic anhydride in the presence of Et3N in CH2Cl2 at room temperature for 2 h to give 3,3'-difluoro-4'-[[[[1-[(pyrimidin-5-ylcarbonyl)amino]cyclopropyl]carbonyl]amino]methyl]-1,1'-biphenyl-2-yl trifluoromethanesulfonate (III).

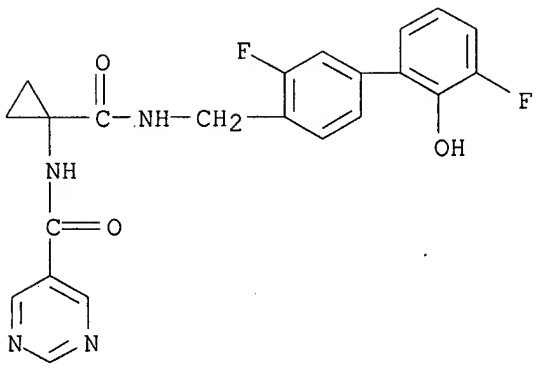
IT 578767-41-6P, N-[1-[[[(3,3'-Difluoro-2'-methoxy-1,1'-biphenyl-4-yl)methyl]amino]carbonyl]cyclopropyl]pyrimidine-5-carboxamide
845830-01-5P, N-[1-[[[(3,3'-Difluoro-2'-hydroxy-1,1'-biphenyl-4-yl)methyl]amino]carbonyl]cyclopropyl]pyrimidine-5-carboxamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of sulfonyl substituted N-(biarylmethyl)aminocyclopropanecarboxamides as bradykinin B1 antagonists or inverse agonists for treatment or prevention of pain and inflammation)

RN 578767-41-6 HCAPLUS
CN 5-Pyrimidinecarboxamide, N-[1-[[[(3,3'-difluoro-2'-methoxy[1,1'-biphenyl]-4-yl)methyl]amino]carbonyl]cyclopropyl]- (9CI) (CA INDEX NAME)

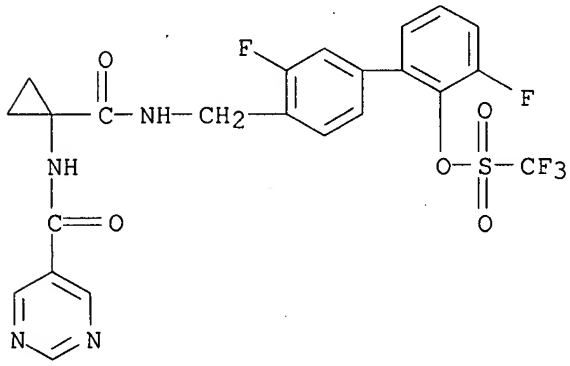


RN 845830-01-5 HCAPLUS
CN 5-Pyrimidinecarboxamide, N-[1-[[[(3,3'-difluoro-2'-hydroxy[1,1'-biphenyl]-4-yl)methyl]amino]carbonyl]cyclopropyl]- (CA INDEX NAME)

Updated Search



IT 845829-98-3P, 3,3'-Difluoro-4'-[[[[1-[(pyrimidin-5-ylcarbonyl)amino]cyclopropyl]carbonyl]amino]methyl]-1,1'-biphenyl-2-yl trifluoromethanesulfonate
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sulfonyl substituted N-(biarylmethyl)aminocyclopropanecarboxamides as bradykinin B1 antagonists or inverse agonists for treatment or prevention of pain and inflammation)
RN 845829-98-3 HCAPLUS
CN Methanesulfonic acid, trifluoro-, 3,3'-difluoro-4'-[[[[1-[(5-pyrimidinylcarbonyl)amino]cyclopropyl]carbonyl]amino]methyl][1,1'-biphenyl]-2-yl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:633358 HCAPLUS
DOCUMENT NUMBER: 139:179892
TITLE: Preparation of N-biphenylmethyl cycloalkanecarboxamides as bradykinin B1 antagonists or inverse agonists useful in the treatment of pain and inflammation
INVENTOR(S): Wood, Michael R.; Anthony, Neville J.; Bock, Mark G.; Feng, Dong-mei; Kuduk, Scott D.; Su, Dai-shi; Wai, Jenny Miu-chun
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2

Updated Search

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2003065789	A2	20030814	WO 2003-US5782		20030204
WO 2003065789	A3	20040311			
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2473778	A1	20030814	CA 2003-2473778		20030204
AU 2003217728	A1	20030902	AU 2003-217728		20030204
EP 1476419	A2	20041117	EP 2003-713689		20030204
EP 1476419	B1	20060201			
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JP 2005516979	T	20050609	JP 2003-565227		20030204
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ES 2256727	T3	20060716	ES 2003-3713689		20030204
US 2005085667	A1	20050421	US 2004-503502		20040803
US 7091380	B2	20060815			
ZA 200405697	A	20060531	ZA 2004-5697		20060317
PRIORITY APPLN. INFO.:			US 2002-355062P	P	20020208
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			WO 2003-US5782	W	20030204

OTHER SOURCE(S): MARPAT 139:179892
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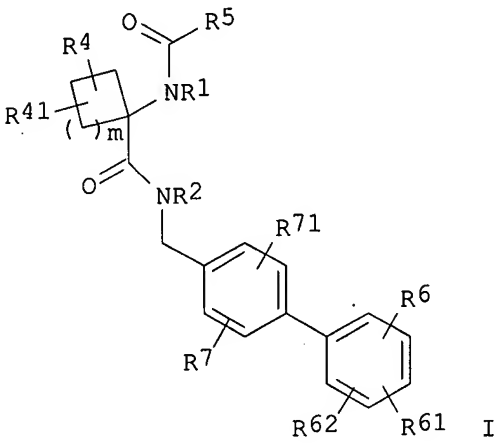


I

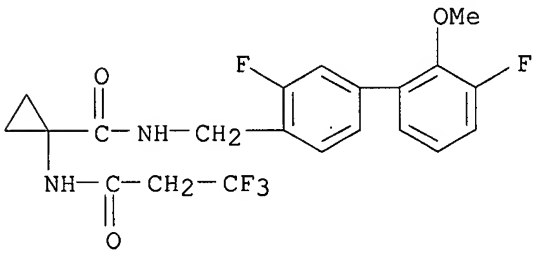
AB Title compds. [I; R1, R2 = H, alkyl; R4, R41 = H, halo, (substituted) alkyl; R5 = alkynyl, (substituted) alkyl, cycloalkyl, alkenyl, aryl(alkyl), heterocyclyl(alkyl), etc.; R6 = halo, cyano, NO2, cycloalkyl, (substituted) alkyl, alkenyl, amino, acylamino, heterocyclyl, etc.; R61,

Updated Search

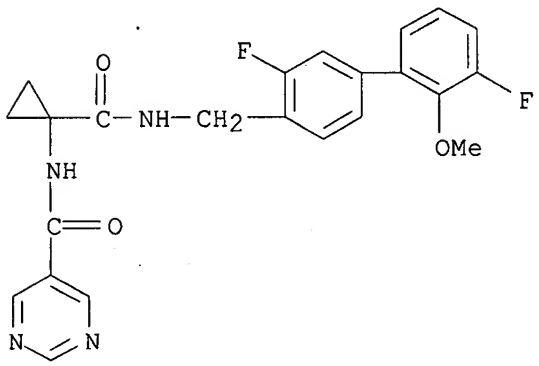
R62 = H, R6; R7, R71 = H, halo, cyano, NO2, OH, CO2H, alkyl, haloalkyl, etc.; with provisos], were prepared for treatment of pain and inflammation (no data). Thus, a mixture of THF, H2O, K2CO3, Me 2-iodobenzoate, 4-cyanophenylboronic acid, and bis(tri-o-tolylphosphine)palladium(II) chloride was refluxed 3.5 h, cooled to ambient temperature, and stirred overnight to give Me 4'-cyano-1,1'-biphenyl-2-carboxylate. The latter in 2 M NH3 in MeOH with a 50% aqueous slurry of Raney Ni was stirred under H2 for 9 h to give a residue which was dissolved in Et2O/EtOAc prior to introduction of HCl to give Me 4'-(aminomethyl)-1,1'-biphenyl-2-carboxylate hydrochloride. To the free base of the above in THF was added 1-[(tert-butoxycarbonyl)amino]cyclopropanecarboxylic acid, Et3N, HOBt.H2O, and EDCI and the mixture was stirred overnight to provide Me 4'-[[[[1-[(tert-butoxycarbonyl)amino]cyclopropyl]carbonyl]amino]methyl]-1,1'-biphenyl-2-carboxylate. The latter was treated with HCl in CH2Cl2/MeOH to give the deprotected amine which was treated with HOBt.H2O, 3,3,3-trifluoropropionic acid, Et3N, and EDCI in DMF to give 78% Me 4'-[[[[1-[(3,3,3-trifluoropropanoyl)amino]cyclopropyl]carbonyl]amino]methyl]-1,1'-biphenyl-2-carboxylate.

IT 578767-40-5P 578767-41-6P 578767-42-7P 578767-68-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-biphenylmethyl cycloalkanecarboxamides as bradykinin B1 antagonists or inverse agonists useful in the treatment of pain and inflammation)

RN 578767-40-5 HCAPLUS
CN Cyclopropanecarboxamide, N-[(3,3'-difluoro-2'-methoxy[1,1'-biphenyl]-4-yl)methyl]-1-[(3,3,3-trifluoro-1-oxopropyl)amino]- (9CI) (CA INDEX NAME)

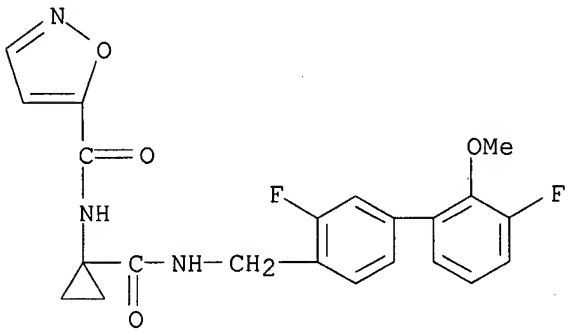


RN 578767-41-6 HCAPLUS
CN 5-Pyrimidinecarboxamide, N-[1-[[[(3,3'-difluoro-2'-methoxy[1,1'-biphenyl]-4-yl)methyl]amino]carbonyl]cyclopropyl]- (9CI) (CA INDEX NAME)

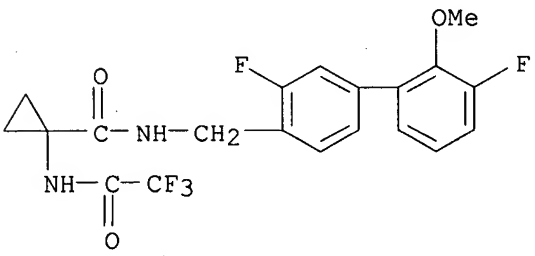


Updated Search

RN 578767-42-7 HCAPLUS
CN 5-Isoxazolecarboxamide, N-[1-[[[(3,3'-difluoro-2'-methoxy[1,1'-biphenyl]-4-yl)methyl]amino]carbonyl]cyclopropyl]- (9CI) (CA INDEX NAME)



RN 578767-68-7 HCAPLUS
CN Cyclopropanecarboxamide, N-[(3,3'-difluoro-2'-methoxy[1,1'-biphenyl]-4-yl)methyl]-1-[(trifluoroacetyl)amino]- (9CI) (CA INDEX NAME)



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L3 9 S L1 FULL

FILE 'HCAPLUS' ENTERED AT 01:34:30 ON 25 SEP 2007
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L5 2 S L4 AND ANTHONY, N?/AU

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L6 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:203618 HCAPLUS
DOCUMENT NUMBER: 140:253570
TITLE: Preparation of N-biarylmethylaminocycloalkanecarboxamide as bradykinin B1 antagonists
INVENTOR(S): Kuduk, Scott D.; Wood, Michael R.; Bock, Mark G.

Updated Search

PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004019868	A2	20040311	WO 2003-US26628	20030825
WO 2004019868	A3	20040429		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2495914	A1	20040311	CA 2003-2495914	20030825
AU 2003265674	A1	20040319	AU 2003-265674	20030825
BR 2003013239	A	20050614	BR 2003-13239	20030825
EP 1545538	A2	20050629	EP 2003-791763	20030825
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1678320	A	20051005	CN 2003-820293	20030825
JP 2005537323	T	20051208	JP 2004-532994	20030825
US 2005288305	A1	20051229	US 2005-523911	20050208
US 7163951	B2	20070116		
IN 2005CN00256	A	20070907	IN 2005-CN256	20050224
MX 2005PA02245	A	20050608	MX 2005-PA2245	20050225
NO 2005001539	A	20050525	NO 2005-1539	20050323
PRIORITY APPLN. INFO.:			US 2002-406742P P	20020829
			WO 2003-US26628 W	20030825

OTHER SOURCE(S): MARPAT 140:253570
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

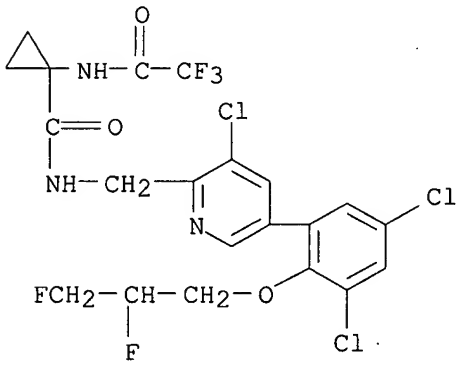
AB Title compds. I [Het = pyridyl, pyrimidinyl, N-oxide thereof; R1-2 = H, alkyl; R3a-3b = H, alkyl; R4a-4b = H, halo, alkyl, etc.; R5 = alkyl, cycloalkyl, alkynyl, alkenyl, etc.; R6a = alkyl, cycloalkyl, alkenyl, etc.; R6b-6c = H and not more than one of R6a-6c = heterocycle; R7a-7b = H, halo, CN, etc.; m = 0-3] are prepared For instance, 1-[((pyrimidin-5-yl)carbonyl)amino]cyclobutanecarboxylic acid (preparation given) is coupled to Me 2-[2-(aminomethyl)pyrimidin-5-yl]-6-fluorobenzoate (preparation given; DMF, HOBt, EDCI, Et3N) to give II. Compds. of the invention have affinity for the bradykinin B1 receptor at less than 5 μM. I are useful for the treatment of pain and inflammation.

IT 669066-85-7P, 1-[[(Trifluoromethyl)carbonyl]amino]-1-[[[[5-[2-[2-fluoro-2-(fluoromethyl)ethoxy]-3-(chloro)-5-(chloro)phenyl]-3-chloropyridin-2-yl]methyl]amino]carbonyl]cyclopropane 669066-86-8P, 1-[[(Trifluoromethyl)carbonyl]amino]-1-[[[[5-[2-[2-fluoro-2-(fluoromethyl)ethoxy]-3-(chloro)-5-(chloro)phenyl]-3-pyridin-2-yl]methyl]amino]carbonyl]cyclopropane 669066-87-9P,

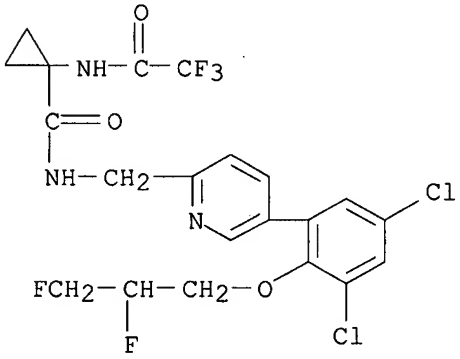
Updated Search

1-[[(Trifluoromethyl)carbonyl]amino]-1-[[[[5-[2-[(carbomethoxy)oxy]-3-(fluoro)phenyl]-3-fluoropyridin-2-yl]methyl]amino]carbonyl]cyclopropane
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-biarylmethylaminocycloalkanecarboxamide as bradykinin B1 antagonists)

RN 669066-85-7 HCAPLUS
CN Cyclopropanecarboxamide, N-[[3-chloro-5-[3,5-dichloro-2-(2,3-difluoropropoxy)phenyl]-2-pyridinyl]methyl]-1-[(trifluoroacetyl)amino]-(9CI) (CA INDEX NAME)

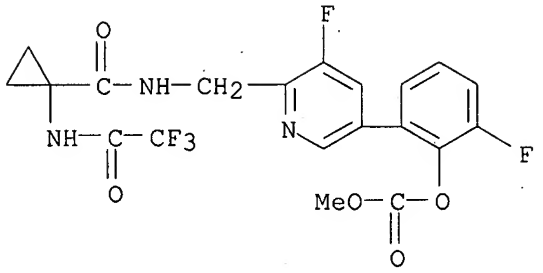


RN 669066-86-8 HCAPLUS
CN Cyclopropanecarboxamide, N-[[5-[3,5-dichloro-2-(2,3-difluoropropoxy)phenyl]-2-pyridinyl]methyl]-1-[(trifluoroacetyl)amino]-(9CI) (CA INDEX NAME)



RN 669066-87-9 HCAPLUS
CN Carbonic acid, 2-fluoro-6-[5-fluoro-6-[[[[1-[(trifluoroacetyl)amino]cyclopropyl]carbonyl]amino]methyl]-3-pyridinyl]phenyl methyl ester (9CI) (CA INDEX NAME)

Updated Search



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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
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     (FILE 'HOME' ENTERED AT 01:26:27 ON 25 SEP 2007)

     FILE 'REGISTRY' ENTERED AT 01:26:35 ON 25 SEP 2007
L1              STRUCTURE UPLOADED
L2            0 S L1
L3            9 S L1 FULL

     FILE 'HCAPLUS' ENTERED AT 01:34:30 ON 25 SEP 2007
L4            3 S L3
L5            2 S L4 AND ANTHONY, N?/AU
L6            1 S L4 NOT L5

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Updated Search
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L7 0 L3

Updated Search